

chain nodes :

17 18 19 20

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16

chain bonds :

1-17 2-18 18-19 18-20

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 11-16
12-13 13-14 14-15 15-16

exact/norm bonds :

1-17 18-19 18-20

exact bonds :

2-18

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 11-16
12-13 13-14 14-15 15-16

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS
18:CLASS 19:CLASS 20:CLASS

09/973,853

=> d his

(FILE 'HOME' ENTERED AT 10:54:31 ON 29 OCT 2003)

FILE 'REGISTRY' ENTERED AT 10:54:36 ON 29 OCT 2003
L1 STRUCTURE uploaded
L2 QUE L1
L3 18 S L2
L4 342 S L2 SSS FUL

FILE 'CAPLUS' ENTERED AT 11:10:06 ON 29 OCT 2003
L5 8 S L4

=> d ibib abs hitstr 1-8

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:757475 CAPLUS

DOCUMENT NUMBER: 139:276879

TITLE: Preparation of N-(substituted benzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamides useful as HIV integrase inhibitors for treatment of HIV infection/AIDS

INVENTOR(S): Egbertson, Melissa; Langford, H. Marie; Melamed, Jeffrey Y.; Wai, John S.; Han, Weiz; Perlow, Debbie S.; Zhuang, Linghang; Embrey, Mark; Young, Steven D.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 217 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 200307857	A2	20030925	WO 2003-US7671	20030312
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LX, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SI, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM	RV: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG	PRIORITY APPLN. INFO.: US 2002-364929P	P 20020315	

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein R1 = H or F; R2 = carbamoylalkyl, carbamoyl, triazolyl or tetrazolyl, acylamino and derivs., 2-oxopyrrolidin-1-yl and analogs, (cyclo)alkoxycarbonyl, COY; Y = azetidinyl, pyrrolidinyl, piperidinyl, morpholinyl, R3 = H, carbamoyl and derivs., acylamino, carbamoyl(alkyl/ethylthio)/methoxy/amino/alkylamino/alkenyl], (un)substituted 5- to 7-membered satd. heterocyclic ring contg. 1 to 4 heteroatoms (N, O or S), (un)substituted 7- to 9-bridged azabicycloalkyl satd. ring; or their pharmaceutically acceptable salts] were prepd. as HIV-integrase inhibitors for preventing, treating or delaying the onset of AIDS. For example, I.I.bul.Na was prep'd via TEA-acylation of III.bul.HCl (prepn. given with 5-(1,1-dioxo-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxylic acid (IV) in DMF at room temp. overnight, followed by sodium salt formation by reaction with NaOH at room temp. for 30 min. IV was prep'd. from 8-hydroxy-1,6-naphthyridine-7-carboxylic acid Me ester in 5 steps by NBS-bromination in CHCl3, O-tosylation in CHCl3, condensation of the bromide with 1,4-butanedisulfonam in DMF in the presence of

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

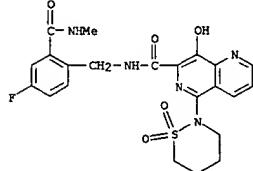
Cu2O/2,2'-bipyridyl at 120.deg. for 4 h, deprotection of tosyl group, and base-catalyzed hydrolysis in MeOH overnight at 60.deg.. Selected invention compds. inhibited the strand transfer activity of HIV integrase with IC50 < 5 .mu.M. The same compds. inhibited HIV replication in T-lymphoid cells with IC95 < 5 .mu.M. The compds. and their salts can be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines.

IT 606080-42-6P, N-[4-Fluoro-2-[(methylamino)carbonyl]benzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
606080-52-8P, N-[4-(Isopropylamino)carbonyl]-4-fluorobenzyl]-5-[(ethylsulfonyl)amino]-8-hydroxy-1,6-naphthyridine-7-carboxamide
606080-58-4P, N-[4-Fluoro-2-[(ethylamino)carbonyl]benzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
606080-62-0P, N-[2-(Aminocarbonyl)-4-fluorobenzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
606080-63-1P, N-[4-Fluoro-2-[(amino)carbonyl]benzyl]-5-[(methylsulfonyl)amino]-8-hydroxy-1,6-naphthyridine-7-carboxamide
606080-67-5P, N-[4-Fluoro-2-[(methylamino)carbonyl]benzyl]-5-[(ethylsulfonyl)(methyl)amino]-8-hydroxy-1,6-naphthyridine-7-carboxamide
606080-80-1P, N-[4-Fluoro-2-[(methylamino)carbonyl]benzyl]-5-(6-methyl-1,1-dioxido-1,2,6-thiadiazinan-2-yl)-1,6-naphthyridine-7-carboxamide
606139-07-5P, N-[2-[(Dimethylamino)carbonyl]-4-fluorobenzyl]-8-hydroxy-5-(6-methyl-1,1-dioxido-1,2,6-thiadiazinan-2-yl)-1,6-naphthyridine-7-carboxamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses); (HIV integrase inhibitor; prepn. of naphthyridinecarboxamides as HIV integrase inhibitors via acylation)

RN 606080-42-6 CAPLUS

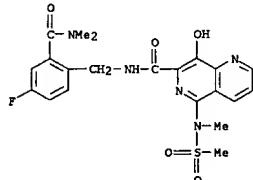
CN 1,6-Naphthyridine-7-carboxamide, N-[2-[(ethylamino)carbonyl]-4-fluorophenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



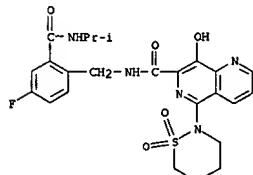
RN 606080-52-8 CAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(2-[(dimethylamino)carbonyl]-4-fluorophenyl)methyl]-8-hydroxy-5-[(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)



RN 606080-55-1 CAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluoro-2-[(1-methylethyl)amino]carbonyl)phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

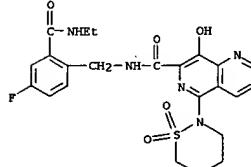


RN 606080-58-4 CAPLUS

Page 2

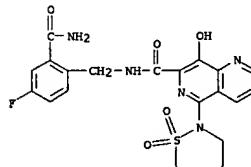
L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CN 1,6-Naphthyridine-7-carboxamide, N-[(2-[(ethylamino)carbonyl]-4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



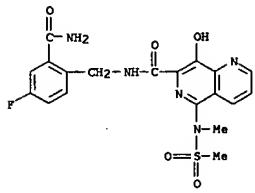
RN 606080-62-0 CAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(2-[(aminocarbonyl)-4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

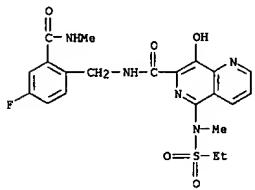


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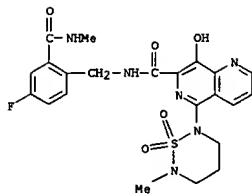
CN 1,6-Naphthyridine-7-carboxamide, N-[(2-[(aminocarbonyl)-4-fluorophenyl)methyl]-8-hydroxy-5-[(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)



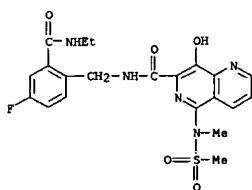
RN 606080-67-5 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-[(4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



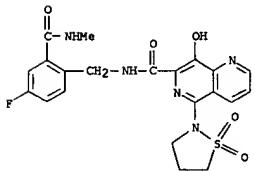
RN 606080-70-0 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]-8-hydroxy-5-(tetrahydro-6-methyl-1,1-dioxido-2H-1,2,6-thiadiazin-2-yl)- (9CI) (CA INDEX NAME)



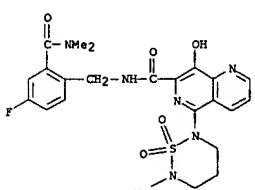
RN 606139-07-5 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(2-[(methylamino)carbonyl]-4-fluorophenyl)methyl]-8-hydroxy-5-[methyl(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)



RN 606139-18-8 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-(1,1-dioxido-2-isothiazolidinyl)-N-[(4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



RN 606139-19-9 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(2-[(dimethylamino)carbonyl]-4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-6-methyl-1,1-dioxido-2H-1,2,6-thiadiazin-2-yl)- (9CI) (CA INDEX NAME)

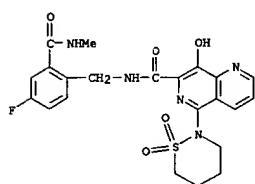


IT 606080-26-6P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-7-[(4-fluoro-2-[(methylamino)carbonyl]benzyl)amino]carbonyl]-8-hydroxy-1,6-naphthyridine sodium salt 606080-27-7P, 7-[(2-[(dimethylamino)carbonyl]-4-fluorobenzyl)amino]carbonyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine sodium salt 606080-28-8P, 7-[(2-[(dimethylamino)carbonyl]-4-fluorobenzyl)amino]carbonyl]-5-[methyl(methylsulfonyl)amino]-8-hydroxy-1,6-naphthyridine sodium salt 606080-29-9P, 7-[(4-Fluoro-2-[(methylamino)carbonyl]benzyl)amino]carbonyl]-5-[(4-fluoro-2-[(isopropylamino)carbonyl]benzyl)amino]carbonyl]-8-hydroxy-1,6-naphthyridine sodium salt 606080-30-2P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-7-[(4-fluoro-2-[(isopropylamino)carbonyl]benzyl)amino]carbonyl]-8-hydroxy-1,6-naphthyridine sodium salt 606080-31-3P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-7-[(4-fluoro-2-[(methylamino)carbonyl]benzyl)amino]carbonyl]-8-hydroxy-1,6-naphthyridine sodium salt 606080-32-4P, 7-[(2-(Aminocarbonyl)-4-fluorobenzyl)amino]carbonyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine sodium salt 606080-33-5P, 7-[(2-(Aminocarbonyl)-4-fluorobenzyl)amino]carbonyl]-5-[methyl(methylsulfonyl)amino]-8-hydroxy-1,6-naphthyridine sodium salt 606080-34-6P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-8-hydroxy-N-[(methylamino)carbonyl]benzyl-1,6-naphthyridine-7-carboxamide 606080-35-7P, 5-[(Ethylsulfonyl) (methyl)amino]-7-[(4-fluoro-2-

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 [(methylamino)carbonyl]benzyl)amino]carbonyl]-8-hydroxy-1,6-naphthyridine sodium salt 606080-36-8P, 7-[(2-[(methylamino)carbonyl]-4-fluorobenzyl)amino]carbonyl]-5-(6-methyl-1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine sodium salt 606080-37-9P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-7-[(4-fluoro-2-[(methylamino)carbonyl]benzyl)amino]carbonyl]-8-hydroxy-1,6-naphthyridine potassium salt 606080-71-1P, N-[4-Fluoro-2-[(dimethylamino)carbonyl]-4-fluorobenzyl]amino]carbonyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-7-[(4-fluoro-2-[(dimethylamino)carbonyl]benzyl)amino]carbonyl]-8-hydroxy-1,6-naphthyridine 606080-72-2P, N-[2-[(dimethylamino)carbonyl]-4-fluorobenzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 606138-73-2P, N-[2-[(dimethylamino)-2-oxoethyl]benzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 606138-74-3P, N-[2-[(methylamino)carbonyl]benzyl]-5-[methyl(methylsulfonyl)amino]carbonyl]-8-hydroxy-1,6-naphthyridine 606080-75-3P, N-[2-[(methylamino)carbonyl]benzyl]-5-[methyl(methylsulfonyl)amino]carbonyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide 606138-76-4P, N-[2-[(methylamino)carbonyl]-4-fluorobenzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 606138-77-5P, 5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 606138-78-6P, 5-(1,1-dioxido-1,2-thiazinan-2-yl)-7-[(4-fluoro-2-[(methylamino)carbonyl]benzyl)amino]carbonyl]-8-hydroxy-1,6-naphthyridine sodium salt 606138-79-7P, 5-(1,1-dioxido-1,2-thiazinan-2-yl)-7-[(4-fluoro-2-[(propylamino)carbonyl]benzyl)amino]carbonyl]-8-hydroxy-1,6-naphthyridine sodium salt 606138-80-1P, 7-[(2-[(ethylamino)carbonyl]-4-fluorobenzyl)amino]carbonyl]-8-hydroxy-1,6-naphthyridine sodium salt 606138-81-2P, N-[2-(1H-1,2,4-Triazol-1-yl)benzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 606138-82-3P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-8-hydroxy-N-[2-(1H-tetrazol-1-yl)benzyl]-1,6-naphthyridine-7-carboxamide 606138-83-4P, N-[7-[(4-Fluoro-2-[(methylamino)carbonyl]benzyl)amino]carbonyl]-8-hydroxy-1,6-naphthyridine sodium salt 606138-84-5P, N-[4-Fluoro-2-[(dimethylamino)carbonyl]benzyl]-5-(ethylsulfonyl) (methyl)amino]-8-hydroxy-1,6-naphthyridine-7-carboxamide 606138-85-6P, 5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-N-[2-(cyclopropylamino)carbonyl]-4-fluorobenzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 606138-86-7P, N-[4-Fluoro-2-[(dimethylamino)carbonyl]benzyl]-5-(ethylsulfonyl) (methyl)amino]-8-hydroxy-1,6-naphthyridine-7-carboxamide 606138-87-8P, 5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-N-[2-(morpholin-4-ylcarbonyl)benzyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridine sodium salt 606138-88-9P, 5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-N-[2-(cyclopropylamino)carbonyl]-4-fluorobenzyl]-5-(6-methyl-1,1-dioxido-1,2,6-thiadiazinan-2-yl)-8-hydroxy-1,6-naphthyridine sodium salt 606138-90-3P, N-[2-(Acetylamino)-4-fluorobenzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 606138-91-4P, 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-[4-fluoro-2-(2-oxopyrrolidin-1-yl)benzyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide 606139-03-1P, N-[7-[(4-Fluoro-2-[(methylamino)carbonyl]benzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 606139-22-4P, N-[2-[(dimethylamino)carbonyl]-4-fluorobenzyl]-5-(dimethylamino)carbonyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide 606139-23-5P, N-[2-[(dimethylamino)carbonyl]-4-fluorobenzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 606139-24-6P, N-[2-[(dimethylamino)carbonyl]-4-fluorobenzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 606139-24-6P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-N-[4-fluoro-2-[(2-(dimethylamino)-2-oxoethyl)benzyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide
 606139-25-7P, N-[2-[(dimethylamino)carbonyl]-4-fluorobenzyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide 606139-27-9P,
 N-[2-[(dimethylamino)carbonyl]-4-fluorobenzyl]-5-[(ethyl(methylsulfonyl)amino)-2-hydroxy-1,6-naphthyridine-7-carboxamide
 606139-28-0P, 5-[Ethyl(methylsulfonyl)amino]-N-[4-fluoro-2-[(dimethylamino)carbonyl]benzyl]-8-hydroxy-5-[(ethyl(methylsulfonyl)amino)-2-hydroxy-1,6-naphthyridine-7-carboxamide
 606139-29-1P, N-[2-[(dimethylamino)carbonyl]benzyl]-8-hydroxy-5-[(ethyl(methylsulfonyl)amino)-1,6-naphthyridine-7-carboxamide
 606139-30-4P, 8-Hydroxy-2-[(dimethylamino)carbonyl]benzyl]-5-[(ethyl(methylsulfonyl)amino)-1,6-naphthyridine-7-carboxamide
 606139-31-5P, 2-[(dimethylamino)carbonyl]benzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
 606139-32-6P, N-[7-[4-Fluoro-2-[(dimethylamino)carbonyl]benzyl]-8-hydroxy-5-isopropyl-N-5-methyl-1,6-naphthyridine-7,8-dicarboxamide
 606139-33-7P, N-[1-[[4-Fluoro-2-[(dimethylamino)carbonyl]benzyl]amino]-8-hydroxy-1,6-naphthyridin-5-yl]-N-1-N-2,N-2-trimethyllethanediamide 606139-34-8P, 5-[Acetyl(methyl)amino]-N-[4-fluoro-2-[(dimethylamino)carbonyl]benzyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide
 RN: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses);
 (HIV integrase inhibitor; prepn. of naphthyridinecarboxamides as HIV integrase inhibitors via acylation)

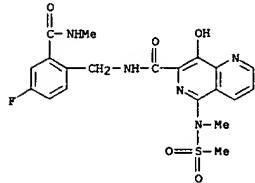
RN: 606080-26-6 CAPLUS
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● Na

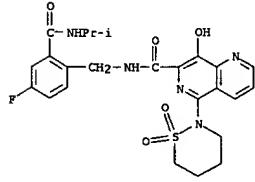
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L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



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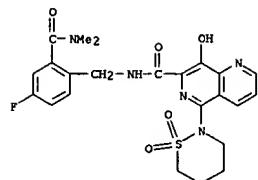
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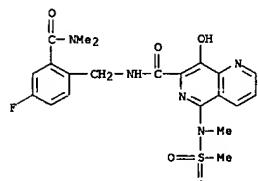
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L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



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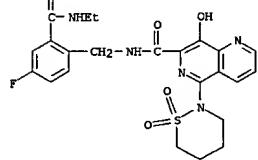
RN: 606080-28-8 CAPLUS
 CN: 1,6-Naphthyridine-7-carboxamide, N-[[2-[(dimethylamino)carbonyl]-4-fluorophenyl]methyl]-8-hydroxy-5-[(ethyl(methylsulfonyl)amino)-, monosodium salt (9CI) (CA INDEX NAME)



● Na

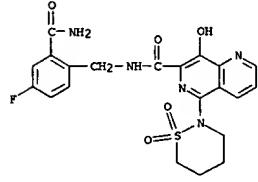
RN: 606080-29-9 CAPLUS
 CN: 1,6-Naphthyridine-7-carboxamide, N-[[4-fluoro-2-[(dimethylamino)carbonyl]phenyl]methyl]-8-hydroxy-5-[(ethyl(methylsulfonyl)amino)-, monosodium salt (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



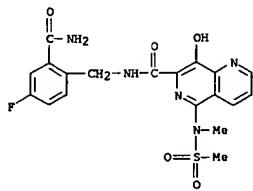
● Na

RN: 606080-32-4 CAPLUS
 CN: 1,6-Naphthyridine-7-carboxamide, N-[[2-(aminocarbonyl)-4-fluorophenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)



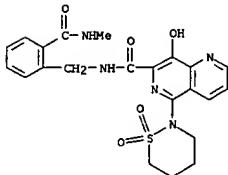
● Na

RN: 606080-33-5 CAPLUS
 CN: 1,6-Naphthyridine-7-carboxamide, N-[[2-(aminocarbonyl)-4-fluorophenyl]methyl]-8-hydroxy-5-[(ethyl(methylsulfonyl)amino)-, monosodium salt (9CI) (CA INDEX NAME)

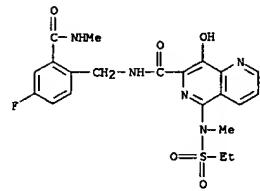


● Na

RN 606080-34-6 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-[(2-[(methylamino)carbonyl]phenyl)methyl]-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

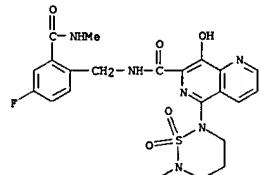


RN 606080-35-7 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-[(ethylsulfonyl)methylamino]-N-[(4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]-8-hydroxy-, monosodium salt (9CI) (CA INDEX NAME)



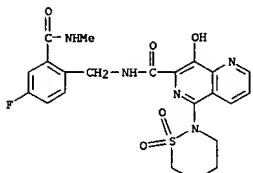
● Na

RN 606080-36-8 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]-8-hydroxy-5-(tetrahydro-6-methyl-1,1-dioxido-2H-1,2,6-thiadiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)



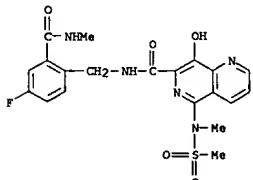
● Na

RN 606080-37-9 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monopotassium salt (9CI) (CA INDEX NAME)

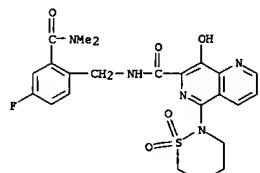


● K

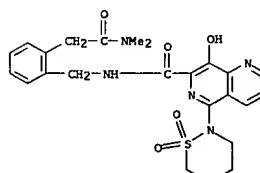
RN 606080-71-1 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]-8-hydroxy-5-[(methylsulfonyl)methylamino]- (9CI) (CA INDEX NAME)



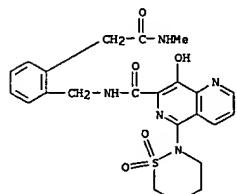
RN 606080-72-2 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(2-[(dimethylamino)carbonyl]-4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



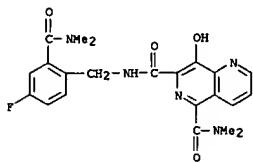
RN 606138-73-2 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(2-[(dimethylamino)-2-oxoethyl]phenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



RN 606138-74-3 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-[(2-[(methylamino)-2-oxoethyl]phenyl)methyl]-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

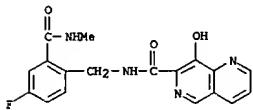


LS ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 RN 606138-75-4 CAPLUS
 CN 1,6-Naphthyridine-5,7-dicarboxamide, N7-[(2-(dimethylamino)carbonyl)-4-fluorophenyl]methyl-8-hydroxy-N5,N5-dimethyl-, monosodium salt (9CI) (CA INDEX NAME)



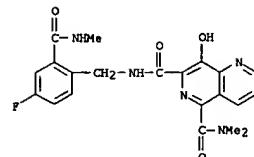
● Na

RN 606138-76-5 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



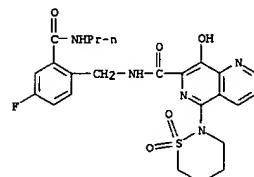
RN 606138-77-6 CAPLUS
 CN 1,6-Naphthyridine-5,7-dicarboxamide, N7-[(4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]-8-hydroxy-N5,N5-dimethyl-, monosodium salt (9CI) (CA INDEX NAME)

LS ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



● Na

RN 606138-78-7 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluoro-2-[(propylamino)carbonyl]phenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)

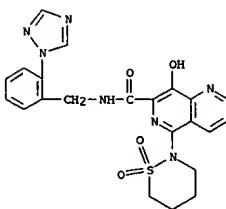


● Na

RN 606138-79-8 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(2-[(ethylamino)carbonyl]-4-fluorophenyl)methyl]-8-hydroxy-5-[methyl(methylsulfonyl)amino]-, monosodium salt (9CI) (CA INDEX NAME)

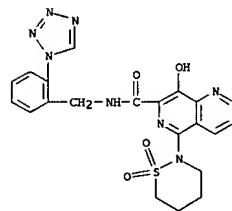
LS ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 606138-80-1 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-N-[(2-(1H-1,2,4-triazol-1-yl)phenyl)methyl]- (9CI) (CA INDEX NAME)

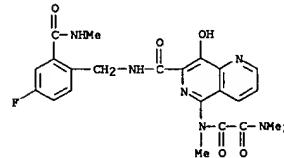


RN 606138-81-2 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-N-[(2-(1H-tetrazol-1-yl)phenyl)methyl]- (9CI) (CA INDEX NAME)

LS ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



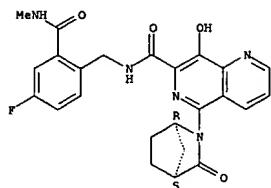
RN 606138-82-3 CAPLUS
 CN Ethanediamide, [7-[(4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]amino]-8-hydroxy-1,6-naphthyridin-5-yl trimethyl-, monosodium salt (9CI) (CA INDEX NAME)



● Na

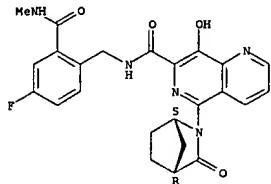
RN 606138-83-4 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]-8-hydroxy-5-[(1R,4S)-3-oxo-2-azabicyclo[2.2.1]hept-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

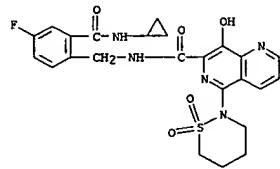


RN 606138-84-5 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy-5-((15,4R)-3-oxo-2-azabicyclo[2.2.1]hept-2-yl)- (9CI) (CA INDEX NAME)

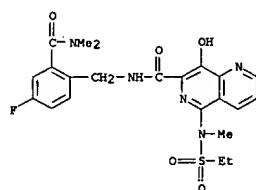
Absolute stereochemistry.



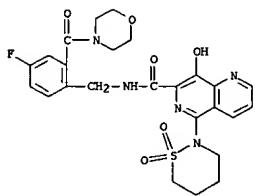
RN 606138-85-6 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[[2-((cyclopropylamino)carbonyl)-4-fluorophenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



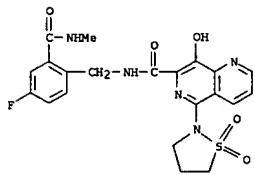
RN 606138-86-7 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[[2-((dimethylamino)carbonyl)-4-fluorophenyl]methyl]-5-((ethylsulfonyl)methylamino)-8-hydroxy- (9CI) (CA INDEX NAME)



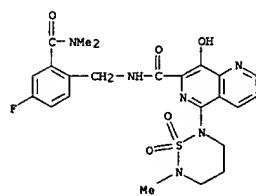
RN 606138-87-8 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[[4-fluoro-2-(4-morpholinylcarbonyl)phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)



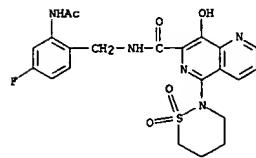
● Na
 RN 606138-88-9 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-(1,1-dioxido-2-isothiazolidinyl)-N-[(4-fluoro-2-(methylamino)carbonyl)phenyl]methyl-8-hydroxy-, monosodium salt (9CI) (CA INDEX NAME)



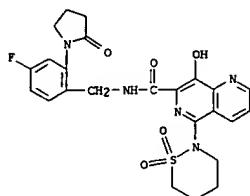
● Na
 RN 606138-89-0 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[[2-((dimethylamino)carbonyl)-4-fluorophenyl]methyl]-8-hydroxy-5-(tetrahydro-6-methyl-1,1-dioxido-2H-1,2,6-thiadiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)



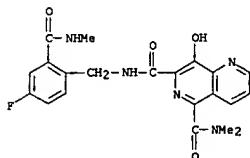
● Na
 RN 606138-90-3 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[[2-(acetylamino)-4-fluorophenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



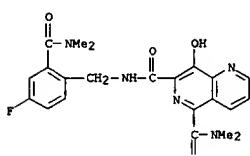
RN 606138-91-4 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[[4-fluoro-2-(2-oxo-1-pyrrolidinyl)phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



RN 606139-03-1 CAPLUS
 CN 1,6-Naphthyridine-5,7-dicarboxamide, N7-[(4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]-8-hydroxy-N5,N5-dimethyl- (9CI) (CA INDEX NAME)

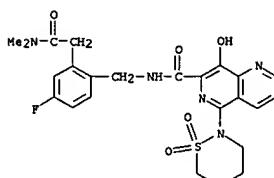


RN 606139-22-4 CAPLUS
 CN 1,6-Naphthyridine-5,7-dicarboxamide, N7-[(2-[(dimethylamino)carbonyl]-4-fluorophenyl)methyl]-8-hydroxy-N5,N5-dimethyl- (9CI) (CA INDEX NAME)

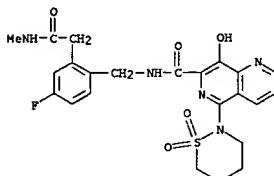


RN 606139-23-5 CAPLUS

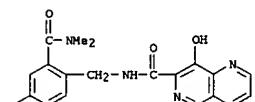
L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 CN 1,6-Naphthyridine-7-carboxamide, N-[(2-[(dimethylamino)-2-oxoethyl]-4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



RN 606139-24-6 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluoro-2-[(2-(methylamino)-2-oxoethyl]phenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

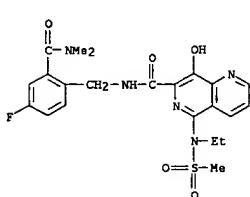


RN 606139-25-7 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(2-[(dimethylamino)carbonyl]-4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

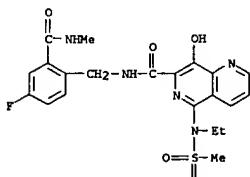


L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 606139-27-9 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(2-[(dimethylamino)carbonyl]-4-fluorophenyl)methyl]-5-[ethyl(methylsulfonyl)amino]-8-hydroxy- (9CI) (CA INDEX NAME)

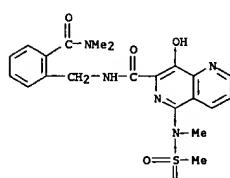


RN 606139-28-0 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-[ethyl(methylsulfonyl)amino]-N-[(4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

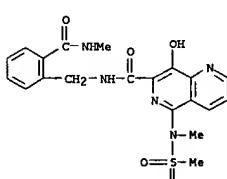


RN 606139-29-1 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(2-[(dimethylamino)carbonyl]phenyl)methyl]-8-hydroxy-5-[ethyl(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

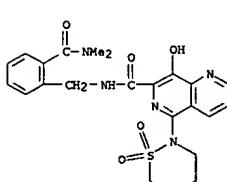
L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 606139-30-4 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-[(2-[(methylamino)carbonyl]phenyl)methyl]-5-[methyl(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

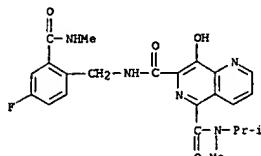


RN 606139-31-5 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(2-[(dimethylamino)carbonyl]phenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

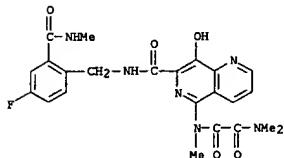


RN 606139-32-6 CAPLUS

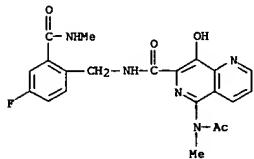
L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 CN 1,6-Naphthyridine-5,7-dicarboxamide, N7-[(4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]-8-hydroxy-N5-methyl-N5-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 606139-33-7 CAPLUS
 CN Ethanediamide, [7-[[[4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl]trimethyl- (9CI) (CA INDEX NAME)

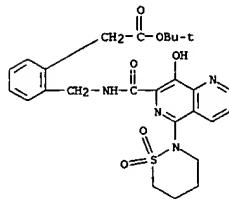


RN 606139-34-8 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-(acetyl methylamino)-N-[(4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



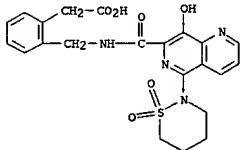
L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 CN 606138-92-5P, tert-Butyl 2-[[[[5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridin-7-yl]carbonyl]amino]methyl]phenyl acetate
 606138-93-6P, 2-[[[[5-(1,1-Dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridin-7-yl]carbonyl]amino]methyl]phenylacetic acid
 606138-98-1P, 5-Bromo-N-[4-fluoro-2-[(methylamino)carbonyl]benzyl]-8-[(4-methylphenyl)sulfonyl]oxy-1,6-naphthyridine-7-carboxamide
 606139-00-8P, Methyl 7-[[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]amino]carbonyl]-8-[(4-methylphenyl)sulfonyl]oxy-1,6-naphthyridine-5-carboxylate
 606139-01-9P, 7-[[[4-Fluoro-2-[(methylamino)carbonyl]benzyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridine-5-carboxylic acid
 606139-06-4P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
 606139-09-7P, N-[4-Fluoro-2-[(methylamino)carbonyl]benzyl]-8-hydroxy-5-(methylamino)carbonyl-7-carboxamido-1,2-thiazinan-2-yl
 606139-10-0P, 606139-13-3P, Methyl 2-[[[[5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridin-7-yl]carbonyl]amino]methyl]-5-fluorobenzoate 606139-14-4P, 2-[[[[5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridin-7-yl]carbonyl]amino]methyl]-5-fluorobenzoic acid 606139-15-5P, 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-[4-fluoro-2-(morpholin-4-ylcarbonyl)benzyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide 606139-21-3P, N-(2-Amino-4-fluorobenzyl)-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
 RL: RCT (Reactant), SPN (Synthetic preparation), PREP (Preparation): RACT (Reactant or reagent)
 (intermediate): prepn. of naphthyridinecarboxamides as HIV integrase inhibitors via acylation)

RN 606138-92-5 CAPLUS
 CN Benzenoacetic acid, 2-[[[8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazinan-2-yl)-1,6-naphthyridin-7-yl]carbonyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

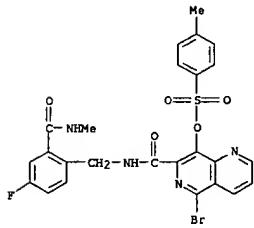


RN 606138-93-6 CAPLUS
 CN Benzenoacetic acid, 2-[[[8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazinan-2-yl)-1,6-naphthyridin-7-yl]carbonyl]amino]methyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

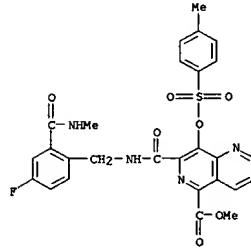


RN 606138-98-1 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-bromo-N-[(4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]-8-[(4-methylphenyl)sulfonyl]oxy- (9CI) (CA INDEX NAME)

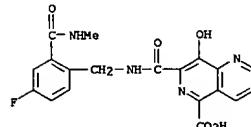


RN 606139-00-8 CAPLUS
 CN 1,6-Naphthyridine-5-carboxylic acid, 7-[[[4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]amino]carbonyl]-8-[(4-methylphenyl)sulfonyl]oxy-, methyl ester (9CI) (CA INDEX NAME)

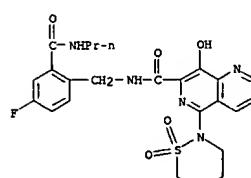
L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 606139-01-9 CAPLUS
 CN 1,6-Naphthyridine-5-carboxylic acid, 7-[[[4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]amino]carbonyl]-8-hydroxy- (9CI) (CA INDEX NAME)

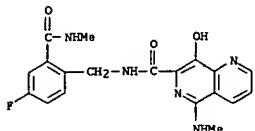


RN 606139-06-4 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazinan-2-yl)- (9CI) (CA INDEX NAME)

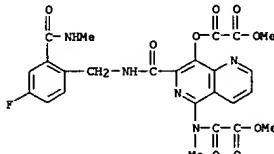


L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 606139-09-7 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]-8-hydroxy-5-(methylamino)- (9CI) (CA INDEX NAME)

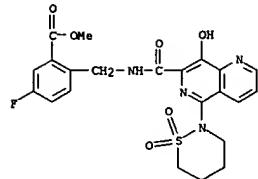


RN 606139-10-0 CAPLUS
 CN Ethanedioic acid, 7-[[[4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]amino]carbonyl-5-[(methoxycarbonyl)methylamino]-1,6-naphthyridin-8-yl methyl ester (9CI) (CA INDEX NAME)

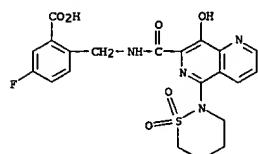


RN 606139-13-3 CAPLUS
 CN Benzoic acid, 5-fluoro-2-[[[8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-1,6-naphthyridin-7-yl]carbonyl]amino]methyl-, methyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



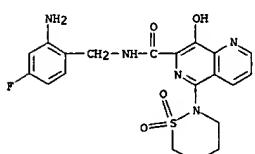
RN 606139-14-4 CAPLUS
 CN Benzoic acid, 5-fluoro-2-[[[8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-1,6-naphthyridin-7-yl]carbonyl]amino]methyl-, (9CI) (CA INDEX NAME)



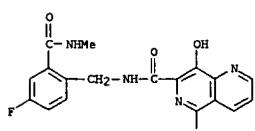
RN 606139-15-5 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluoro-2-(4-morpholinylcarbonyl)phenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 606139-21-3 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(2-amino-4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



IT 606138-99-2 CAPLUS
 RN 606138-99-2 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-bromo-N-[(4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



L5 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
 2003:2757471 CAPLUS
 ACCESION NUMBER: 139:2757478
 DOCUMENT NUMBER: Preparation of N-(substituted benzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamides useful as HIV integrase inhibitors for treatment of HIV infection/AIDS
 TITLE: Egbertson, Melissa; Langford, H. Marie; Melamed, Jeffrey Y.; Wai, John S.; Han, Wei; Perlow, Debbie S.; Zhuang, Linghang; Embrey, Mark
 INVENTOR(S):
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 114 pp.
 CODEN: PIXMD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO. WO 2003077850
 KIND A2
 DATE 20030925
 APPLICATION NO. WO 2003-US7448
 DATE 20030312
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, C2, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, U2, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, S2, T2, UG, ZM, ZW, AT, BE, BG, CH, CY, C2, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 PRIORITY APPLN. INFO.: US 2002-364929P F 20020315
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

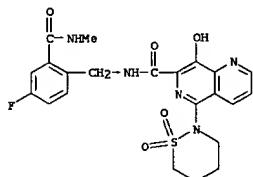
AB Title compds. I [wherein R1 = H or F; R2 = carbamylalkyl, carbamoyl, triazolyl or tetrazolyl, acylamino and derivs., 2-oxopyrrolidinyl and analogs, (cyclo)alkoxycarbonyl, COY; Y = azetidinyl, pyrrolidinyl, piperidinyl, morpholino; R3 = H, carbamoyl and derivs., acylamino, carbamoyl(alkyl/methylthio)/methoxy/amino/alkylamino/alkenyl], (un)substituted 5- to 7-membered satd. heterocyclic ring contg. 1 to 4 heteroatoms (N, O or S), (un)substituted 7- to 9-bridged azabicycloalkyl satd. ring; or their pharmaceutical acceptable salts] were prep'd. as HIV-integrase inhibitors for preventing and treating infection by HIV and for preventing, treating or delaying the onset of AIDS. For example, II.bul.Na was prep'd. via TFA-acylation of III.bul.HCl (prep'n. given) with 5-(1,1-dioxo-1,2-thiazin-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxylic acid (IV) in DMF at room temp. overnight, followed by sodium salt formation by reaction with NaOH at room temp. for 30 min. IV was prep'd. from 8-hydroxy-1,6-naphthyridine-7-carboxylic acid. Its ester in 5 steps by NBS-bromination in CHCl3, O-tosylation in CHCl3, condensation of the bromide with 1,4-butanedisulfonate in DMF in the presence of Cu2O/2,2'-bipyridyl at 120.degree. for 4 h, deprotection of tosyl group, and base-catalyzed hydrolysis in MeOH overnight at 60.degree.. Selected invention compds. inhibited the strand transfer activity of HIV integrase with IC50 < 0.5 .mu.M. The same compds. inhibited the replication of HIV

L5 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
in T-lymphoid cells with IC50 < 5 μ M. The compds. and their salts can be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines.

IT 606080-42-6P, N-[4-Fluoro-2-[(methylamino)carbonyl]benzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
606080-52-8P, N-[2-[(Dimethylamino)carbonyl]-4-fluorobenzyl]-5-(methyl(methylsulfonyl)amino)-8-hydroxy-1,6-naphthyridine-7-carboxamide
606080-55-1P, N-[4-Fluoro-2-[(isopropylamino)carbonyl]benzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
606080-58-4P, N-[4-Fluoro-2-[(ethylamino)carbonyl]benzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
606080-62-0P, N-[2-(Aminocarbonyl)-4-fluorobenzyl]-8-hydroxy-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
606080-63-1P, N-[4-Fluoro-2-[(methylamino)carbonyl]benzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
606080-67-5P, N-[4-Fluoro-2-[(methylamino)carbonyl]phenyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide
606080-70-0P, N-[4-Fluoro-2-[(methylamino)carbonyl]benzyl]-5-(6-methyl-1,1-dioxido-1,2,6-thiadiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
RN: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses); HIV integrase inhibitor; prepn. of naphthyridinecarboxamides as HIV integrase inhibitor via acylation

RN 606080-42-6 CAPLUS

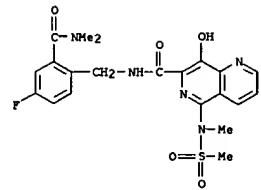
CN 606080-70-0 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[(4-Fluoro-2-[(methylamino)carbonyl]phenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazinan-2-yl) - (9CI) (CA INDEX NAME)



RN 606080-52-9 CAPLUS

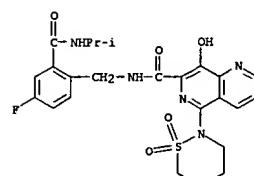
CN 1,6-Naphthyridine-7-carboxamide, N-[(2-[(dimethylamino)carbonyl]-4-fluorophenyl)methyl]-8-hydroxy-5-[methyl(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 606080-55-1 CAPLUS

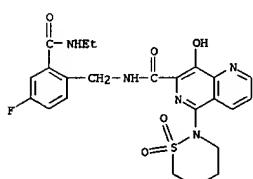
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-Fluoro-2-[(1-methylethyl)amino]carbonyl)phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazinan-2-yl) - (9CI) (CA INDEX NAME)



RN 606080-58-4 CAPLUS

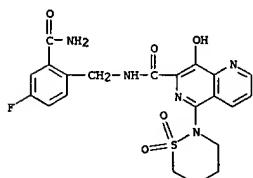
CN 1,6-Naphthyridine-7-carboxamide, N-[(2-[(ethylamino)carbonyl]-4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazinan-2-yl) - (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



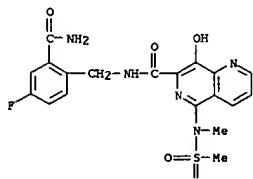
RN 606080-62-0 CAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(2-[(aminocarbonyl)-4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazinan-2-yl) - (9CI) (CA INDEX NAME)



RN 606080-63-1 CAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(2-[(aminocarbonyl)-4-fluorophenyl)methyl]-8-hydroxy-5-[methyl(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

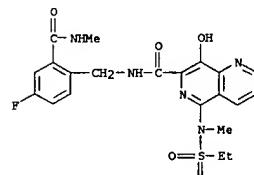


RN 606080-67-5 CAPLUS

Page 11

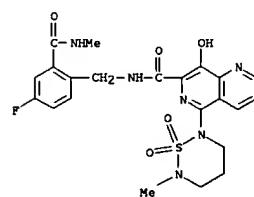
L5 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CN 1,6-Naphthyridine-7-carboxamide, 5-[(ethylsulfonyl)methylamino]-N-[(4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



RN 606080-70-0 CAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]-8-hydroxy-5-(tetrahydro-6-methyl-1,1-dioxido-2H-1,2,6-thiadiazinan-2-yl) - (9CI) (CA INDEX NAME)



IT 606080-26-6P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-7-[(4-fluoro-2-[(methylamino)carbonyl]benzyl)amino]carbonyl]-8-hydroxy-1,6-naphthyridine sodium salt 606080-27-7P, 7-[(2-[(Dimethylamino)carbonyl]-4-fluorobenzyl)amino]carbonyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine sodium salt 606080-28-8P, 7-[(2-[(Dimethylamino)carbonyl]-4-fluorobenzyl)amino]carbonyl]-5-(methyl(methylsulfonyl)amino)-8-hydroxy-1,6-naphthyridine sodium salt 606080-29-9P, 7-[(4-Fluoro-2-[(methylamino)carbonyl]benzyl)amino]carbonyl]-5-(methyl(methylsulfonyl)amino)-8-hydroxy-1,6-naphthyridine sodium salt 606080-30-2P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-7-[(4-fluoro-2-[(isopropylamino)carbonyl]benzyl)amino]carbonyl]-8-hydroxy-1,6-naphthyridine sodium salt 606080-31-3P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-7-[(2-[(ethylamino)carbonyl]-4-fluorobenzyl)amino]carbonyl]-8-hydroxy-1,6-naphthyridine sodium salt 606080-32-4P, 7-[(2-[(Aminocarbonyl)-4-fluorobenzyl)amino]carbonyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine sodium salt 606080-33-5P, 7-[(2-[(ethylamino)carbonyl]-4-fluorobenzyl)amino]carbonyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine sodium salt

L5 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

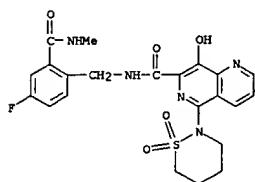
(Aminocarbonyl)-4-(fluorobenzyl)amino]carbonyl]-5-[methyl(methylsulfonyl)amino]-8-hydroxy-1,6-naphthyridine sodium salt 606080-34-69, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-8-hydroxy-N-[2-[(methylamino)carbonyl]benzyl]-1,6-naphthyridine-7-carboxamide 606080-35-70, 5-[(Ethylsulfonyl)amino]-7-[[4-fluoro-2-[(methylamino)carbonyl]benzyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridine sodium salt 606080-36-80, 7-[[2-[(Methylamino)carbonyl]-4-fluorobenzyl]amino]-5-(6-methyl-1,1-dioxido-1,2-thiadiazinan-2-yl)-8-hydroxy-1,6-naphthyridine sodium salt 606080-37-99, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-7-[[4-(fluoro-2-[(methylamino)carbonyl]benzyl)amino]carbonyl]-8-hydroxy-1,6-naphthyridine potassium salt 606080-71-19, N-(4-(fluoro-2-[(methylamino)carbonyl]benzyl)methyl(methylsulfonyl)amino]-8-hydroxy-1,6-naphthyridine-7-carboxamide 606080-72-20, N-[2-[(methylamino)carbonyl]-4-(fluorobenzyl)-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide

RU: PAC (Pharmacological activity); SPM (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses);

(HIV integrase inhibitor; prepn. of naphthyridinecarboxamides as HIV integrase inhibitors via acylation)

RN 606080-26-6 CAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[[4-Fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)

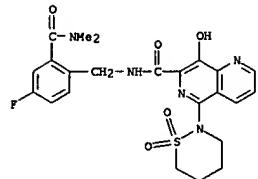


● Na

RN 606080-27-7 CAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[[2-[(dimethylamino)carbonyl]-4-fluorophenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)

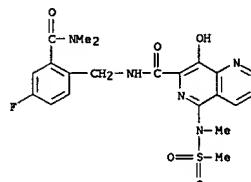
L5 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



● Na

RN 606080-28-8 CAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[[2-[(dimethylamino)carbonyl]-4-fluorophenyl]methyl]-8-hydroxy-5-[methyl(methylsulfonyl)amino]-, monosodium salt (9CI) (CA INDEX NAME)

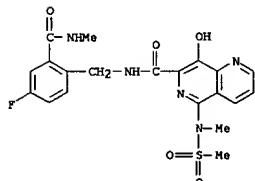


● Na

RN 606080-29-9 CAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy-5-[methyl(methylsulfonyl)amino]-, monosodium salt (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

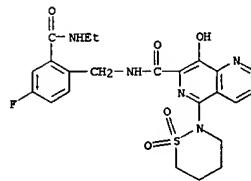


● Na

RN 606080-30-2 CAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[[4-fluoro-2-[(1-methylethyl)amino]carbonyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)

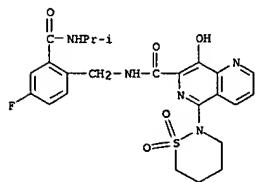
L5 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



● Na

RN 606080-32-4 CAPLUS

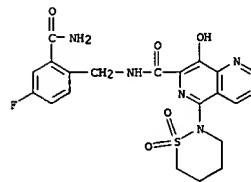
CN 1,6-Naphthyridine-7-carboxamide, N-[[2-(aminocarbonyl)-4-fluorophenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)



● Na

RN 606080-31-3 CAPLUS

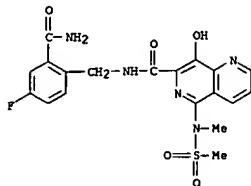
CN 1,6-Naphthyridine-7-carboxamide, N-[[2-[(ethylamino)carbonyl]-4-fluorophenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)



● Na

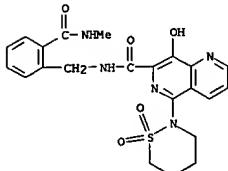
RN 606080-33-5 CAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[[2-(aminocarbonyl)-4-fluorophenyl]methyl]-8-hydroxy-5-[methyl(methylsulfonyl)amino]-, monosodium salt (9CI) (CA INDEX NAME)

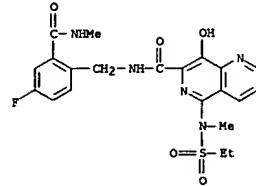


● Na

RN 606080-34-6 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-[(2-[(methylamino)carbonyl]phenyl)methyl]-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

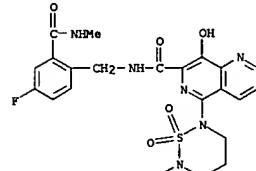


RN 606080-35-7 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-[(ethylsulfonyl)methylamino]-N-[(4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]-8-hydroxy-, monosodium salt (9CI) (CA INDEX NAME)



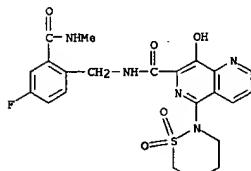
● Na

RN 606080-36-8 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]-8-hydroxy-5-(tetrahydro-6-methyl-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)



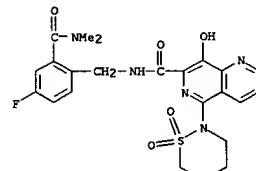
● Na

RN 606080-37-9 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monopotassium salt (9CI) (CA INDEX NAME)



● K

RN 606080-71-1 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]-8-hydroxy-5-[(methyl(methylsulfonyl)amino)- (9CI) (CA INDEX NAME)



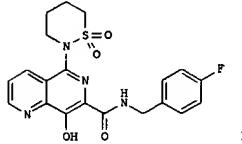
RN 606080-72-2 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(2-[(dimethylamino)carbonyl]-4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

L5 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2003:154434 CAPLUS
 DOCUMENT NUMBER: 138:205068

TITLE: Process for the preparation of a Na salt of a 5-(dioxidothiazinanyl)naphthyridine-7-carboxamide HIV integrase inhibitor
 INVENTOR(S): Anthony, Neville J.; Xu, Wei; Lepore, John V.; Mahajan, Amar J.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl. 40 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003016315	A1	20030227	WO 2002-US25675	20020813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LX, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, T2, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
US 2003119823	A1	20030626	US 2002-218537	20020814
PRIORITY APPLN. INFO.:			US 2001-313373P	P 20010817

GI



I

AB The cryst. sodium salt I.bul.Na was prepd. as an HIV integrase inhibitor for preventing or treating HIV infection, for delaying the onset of AIDS, and for treating AIDS (no data). I.bul.Na exhibited superior oral bioavailability and improved pharmacokinetics (e.g., improved Cmax and AUC) in rats and dogs relative to amorphous and cryst. I (no data). For example, 5-bromo-8-(p-toluenesulfonyloxy)-1,6-naphthyridine-7-carboxylic

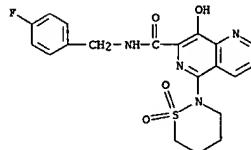
L5 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 acid Me ester was coupled with 1,4-butanediol (prepn. of starting materials given) in the presence of Cu2O and 2,2'-bipyridyl in DMF (7%). Deprotection of the alc. with NaOMe in MeOH (97%), followed by amidation with 4-fluorobenzylamine in EtOH gave I.bul. EtOH (94%). The cryst. Na salt of 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide (I.bul.Na) was formed by treating the monoethonolate with 5M NaOH in a mixt. of EtOH and H2O. I.bul.Na was analyzed by differential scanning calorimetry at a heating rate of 10.degree.C/min in an open cup under flowing nitrogen and was found to have a DSC curve showing an endotherm with a peak temp. of about 348.degree. and an assoc'd. heat of fusion of about 45 J/gm followed by an exotherm with a peak temp. of about 352.degree. and an assoc'd. heat of fusion of about 45 J/gm. The X-ray powder diffraction pattern of the Na salt was also generated.

IT 410545-86-7P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide sodium salt
 RL: IMF (Industrial manufacture); PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYF (Physical process); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses); (HIV integrase inhibitor; prepn. of the Na of a (dioxidothiazinanyl)naphthyridinecarboxamide HIV integrase inhibitor for treatment of AIDS)

RN 410545-86-7 CAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)

INDEX NAME

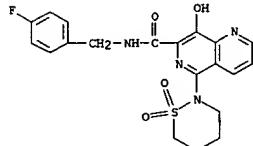


● Na

IT 410544-95-5P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
 411233-43-7P, 5-(N-(4-Butanesultam)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide monoethonolate
 RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactants or reagents); (intermediate; prepn. of the Na of a (dioxidothiazinanyl)naphthyridinecarboxamide HIV integrase inhibitor for treatment of AIDS)

RN 410544-95-5 CAPLUS

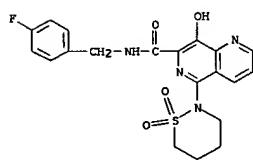
L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, compd. with ethanol (1:1) (9CI) (CA INDEX NAME)



RN 411233-43-7 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, compd. with ethanol (1:1) (9CI) (CA INDEX NAME)

CN 1

CN 410544-95-5
 CNF C20 H19 F4 O4 S



CN 2

CN 64-17-5
 CNF C2 H6 O

H₃C-CH₂-OH

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2003:154429 CAPLUS
 DOCUMENT NUMBER: 138:205040
 TITLE: Process for preparing 5-sulfonamido-8-hydroxy-1,6-naphthyridine-7-carboxamides, useful as HIV integrase inhibitors, by condensation of sulfonamides with 5-halo-8-(protected-hydroxy)naphthyridines in the presence of copper promoters and copper-chelating agents

INVENTOR(S): Maligres, Peter E.; Askin, David

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 111 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003016309	A1	20030227	WO 2002-US27151	20020813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LX, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, N2, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		

PRIORITY APPLN. INFO.: US 2001-313376P P 20010817

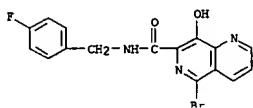
OTHER SOURCE(S): CASREACT 138:205040; MARPAT 138:205040

GI

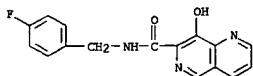
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB An improved prepn. of 5-sulfonamido-8-hydroxy-1,6-naphthyridine-7-carboxamides I is disclosed [wherein: A = Ph nucleus or carbocycle-fused Ph nucleus; L = bond, Cl-6 alkyne, C2-6 alkenyne, (CO-6 alkyne)-(C3-6 cycloalkyne)-(CO-6 alkyne); Z1 = H, (un)substituted alkyl, OH, halo, NO2, cyano, CO2H or certain derivs., etc.; n = 0-5; Z2 = H, aryl, arylxy, aralkyl, aralkoxy, heteroaryl, heterocarylogy, etc.; m = 0-2; R1, R2, R3 = H, (un)substituted alkyl or aryl, OH, halo, NO2, cyano, (heteroaryl)oxy, etc.; R4 = H, (un)substituted alkyl or aryl; R5 = (un)substituted alkyl or aryl; or R4R5 = atoms to form certain sultams; R6 = H, (un)substituted alkyl]. Comnds. I are known inhibitors of HIV integrase, and are useful for treating HIV infection, preventing HIV infection, delaying the onset of AIDS, and treating AIDS. Unspecified representative compds. I had IC50 values of < 100 μM in an integrase inhibition assay, and inhibited acute HIV infection of T-lymphoid cells with IC50 < 20 μM in another assay (no addnl. data). In the key step, a 5-halo-8-hydroxy-1,6-naphthyridine-7-carboxylic acid or acid ester, in which the hydroxy is derivatized with a protecting group, is reacted with a sulfonamide (e.g., an alkanesulfonamide, an N-alkyl alkanesulfonamide, or an alkanesultam) in the presence of a copper promoter and a chelating

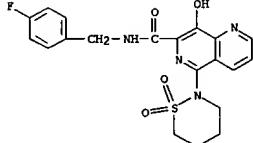
LS ANSWER 4 OF 8 CAPIUS COPYRIGHT 2003 ACS on STN (Continued)
 agent, followed by deprotection of the hydroxy group, and then amidation with an amine to obtain I. Alternatively, the hydroxy-protected 5-halo-8-hydroxy-1,6-naphthyridine-7-carboxylic acid (or ester) is first coupled with an amine, and the resulting carboxamide then reacts with a sulfonamide, followed by deprotection of the hydroxy group, to obtain I. For instance, 8-hydroxy-1,6-naphthyridine-7-carboxylic acid Me ester underwent NBS bromination in the 5-position (93), followed by O-tosylation of the 8-OH group with p-MeC6H4SO2Cl and Et3N (97). Coupling of the resultant 5-bromo-8-(p-toluenesulfonyloxy)-1,6-naphthyridine-7-carboxylic acid Me ester (II) with 1,4-butanesultam in the presence of Cu2O and 2,2-bipyridyl in degassed DMF at 120.degree. gave product III in 78-83% yield, depending upon workup. Detosylation of III with NaOMe and MeOH in DMF (97) and amidation of the ester with 4-fluorobenzylamine in EtOH at 75-77.degree. (94) gave the synthetic target IV as the mono-EtOH solvate. In two comparison runs using Cu2O/pyridine, in which the 8-hydroxy group was not protected as the tosylate ester, coupling yields of only 19% and 42% were obtained.
 IT 410544-56-8P, 5-Bromo-N-(4-fluorophenyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410545-81-2P, N-(4-Fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 500129-84-0P, 5-Bromo-N-(4-fluorophenyl)-8-(p-toluenesulfonyloxy)-1,6-naphthyridine-7-carboxamide 500129-85-1P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-(p-toluenesulfonyloxy)-1,6-naphthyridine-7-carboxamide
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; prep. of sulfonamidohydroxynaphthyridinecarboxamides via coupling of halo(protected-hydroxy)haphthyridines with sulfonamides and sultams using Cu promoters and chelating agents)
 RN 410544-56-8 CAPIUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-bromo-N-(4-fluorophenyl)methyl)-8-hydroxy- (9CI) (CA INDEX NAME)



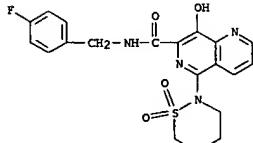
RN 410545-81-2 CAPIUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[{(4-fluorophenyl)methyl}-8-hydroxy- (9CI) (CA INDEX NAME)



LS ANSWER 4 OF 8 CAPIUS COPYRIGHT 2003 ACS on STN (Continued)
 RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (product; prep. of sulfonamidohydroxynaphthyridinecarboxamides via coupling of halo(protected-hydroxy)haphthyridines with sulfonamides and sultams using Cu promoters and chelating agents)
 IT 410544-95-5 CAPIUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[{(4-fluorophenyl)methyl}-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazinan-2-yl)- (9CI) (CA INDEX NAME)



RN 410545-86-7 CAPIUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[{(4-fluorophenyl)methyl}-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazinan-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)

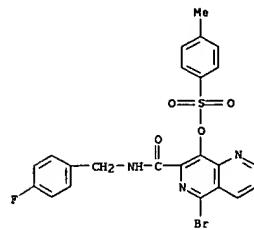


● Na

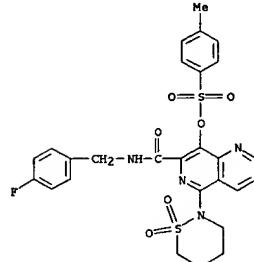
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 4 OF 8 CAPIUS COPYRIGHT 2003 ACS on STN (Continued)

RN 500129-84-0 CAPIUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-bromo-N-[(4-fluorophenyl)methyl]-8-[(4-methylphenyl)sulfonyloxy]- (9CI) (CA INDEX NAME)



RN 500129-85-1 CAPIUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-[(4-methylphenyl)sulfonyloxy]-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazinan-2-yl)- (9CI) (CA INDEX NAME)



IT 410544-95-5P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
 410545-86-7P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide sodium salt

LS ANSWER 5 OF 8 CAPIUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003154416 CAPIUS

DOCUMENT NUMBER: 138:205067

TITLE: Process for preparing sultams from alkanesulfonyl halides and haloalkylamines via intramolecular dianion alkylation of N-(haloalkyl)alkanesulfonamides, and application to the preparation of naphthyridinecarboxamides useful as HIV integrase inhibitors.

INVENTOR(S): Lee, Jaemoon; Askin, David; Jensen, Mark S.; Zhong, Yong-He

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 43 pp.

CODEN: PIIXD2

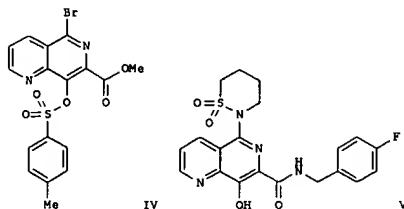
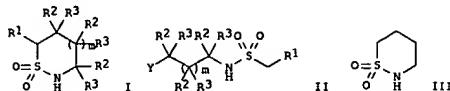
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

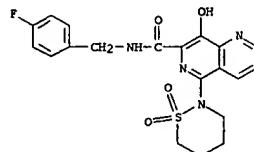
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003016294	A1	20030227	WO 2002-US25666	20020813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, HX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TH, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AH, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RU: GH, GM, KE, LS, MW, MZ, SD, SI, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BE, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2001-313375P	P 20010817
OTHER SOURCE(S):			CASREACT	138:205067; MARPAT 138:205067
GI				



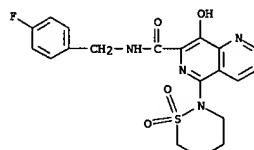
AB A new, superior prepn. of sultams is disclosed. In one embodiment an alkanesulfonyl halide reacts with a haloalkylamine to obtain the corresponding N-(haloalkyl)alkanesulfonamide, which is then cyclized in the presence of a deprotonating agent to give the sultam. The sultams include compds. useful as intermediates in the prepn. of naphthyridinecarboxamide compds. which are HIV integrase inhibitors. In particular, claims cover the prepn. of sultams I by treatment of precursors II with a deprotonating agent in an aprotic solvent [wherein: Y = leaving group without an active proton; R1 = H, Cl-alkyl, (un)substituted Ph where substituents are halo or Cl-alkyl; R2, R3 = H, Cl-alkyl; m = 0-2]. The method offers high yields in 1 or 2 steps from relatively simple, com. available starting materials. For instance, a slurry of Br(CH2)3NH2Br in THF at 0.degree. was treated simultaneously dropwise with Et3N and a soln. of MeSO2Cl in THF at < 10.degree. over 2 h, then warmed to 23.degree. and filtered to give a soln. of Br(CH2)3NHSO2Me in THF. This soln. was treated with 1,10-phenanthroline and iso-Pr2NH, cooled to -30.degree., and treated with n-BuLi over 4 h at -20.degree.. Workup and isolation gave a cryst. 1,4-butanedisulfonam (III) in 53% yield on a 1.44 kg scale. Sultam III was coupled with bromide IV in the presence of Cu2O and 2,2'-bipyridyl in 78% yield, followed by desylation with NaOMe (97%) and amidation (94%) to give the target drug V, isolated as both an Et2O/solvent or the Na salt.

IT 410544-55-5P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
410545-86-7P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide sodium salt
RL: IIMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

L5 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(target drug; prepn. of sultams from alkanesulfonyl halides and haloalkylamines via intramol. dianion alkylation of N-(haloalkyl)alkanesulfonamides, and use in prepn. of naphthyridinecarboxamide HIV integrase inhibitors)
RN 410544-95-5 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



RN 410545-86-7 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)



● Na

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

INVENTOR(S): Anthony, Neville J.; Gomez, Robert P.; Young, Steven D.; Egbertson, Melissa; Wei, John S.; Zhuang, Lichhang; Embrey, Mark; Tran, Lekham; Melamed, Jeffrey Y.; Langford, H. Marie; Guare, James P.; Fisher, Norsten E.; Jolly, Samson H.; Kuo, Michelle S.; Ferlow, Debra S.; Bennett, Jennifer J.; Funk, Timothy W.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: Pct Intl. Appln., 97 pp.

CODEN: PIAK02

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

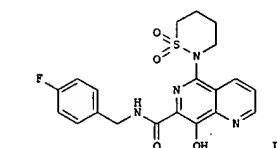
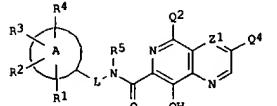
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030931	A2	20020418	WO 2001-U542564	20011009
WO 2002030931	A3	20021024		
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AU 2002011874	A5	20020422	AU 2002-11874	20011009
EE 200300145	A	20030616	EE 2003-145	20011009
US 2003055071	A1	20030320	US 2001-973853	20011010
NO 2003001672	A	20030605	NO 2003-1672	20030411

PRIORITY APPLN. INFO.:

US 2000-2397078	P	20001012
US 2001-281656P	P	20010405
WO 2001-U542564	W	20011009

OTHER SOURCE(S): MARPAT 136:309919

GI



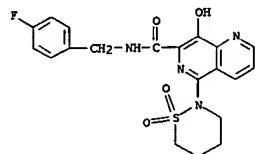
AB Title compds. I [A = Ph, indanyl, naphthyl, etc; L = single bond, alkyl, etc.; Z1 = N, CQ3; Q2-3 = H, alkyl, fluoroalkyl, alkoxy, halo, CN, etc. or O2-3 together with the carbon to which they are attached and the fused ring carbon atom attached theretoform a 5-6-membered monocyclic heterocycle; Q4 = H, alkyl, fluoroalkyl, alkoxy, halo, CN, etc.; R1-2 = H, alkyl, fluoroalkyl, alkoxy, halo, OH, etc.; R3-4 = H, halo, CN, OH, alkyl, fluoroalkyl, alkoxy, etc.; R5 = H, (un)substituted alkyl, Ph, etc.] were prep'd. For instance, the Mitsunobu product of iso-Pr 3-(hydroxymethyl)pyridine-2-carboxylate and Me-N-(4-methylphenyl)sulfonamido was cyclized to Me 8-hydroxy-1,6-naphthyridine-7-carboxylate (MeOH, NaOMe, 0.degree. C, 1.5 h). The naphthyridine was converted to the 5-bromo deriv. (CH2Cl2, NBS) and the product condensed with 4-fluorobenzylamine to give the corresponding 7-carboxamide. Treatment of this intermediate with 1,4-butanedisulfonam (prep'd. given; Pyridine, Cu2O, reflux, 16 h) provided II. The sodium salt of II was characterized by DSC and XRD and jet-milled to a particle size of 3-5 μm. for use in oral dosage formulations. I are inhibitors of HIV integrase and inhibitors of HIV replication, and are useful in the prevention or treatment of infection by HIV and the treatment of AIDS alone or in combination with other antivirals, immunomodulators, antibiotics or vaccines. Methods of preventing, treating or delaying the onset of AIDS and methods of preventing or treating infection by HIV are also described.

IT 410544-55-5P 411233-43-7P

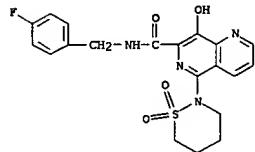
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (drug; prepn. of aza- and polyaza-naphthalenyl carboxamides as HIV integrase inhibitors)

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

LS ANSWER 6 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 411233-43-7 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, compd. with ethanol (1:1) (9CI) (CA INDEX NAME)
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 CRN 410544-95-5
 CMF C20 H19 F N4 O4 S

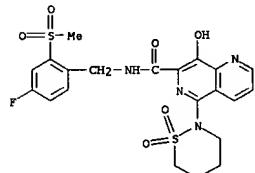


CM 2
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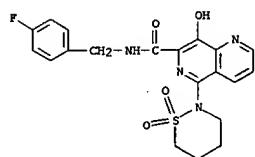
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IT 410545-36-7P 410545-56-1P 410545-86-7P
 410545-90-3P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug; prepn. of aza- and polyaza-naphthalenyl carboxamides as HIV integrase inhibitors)

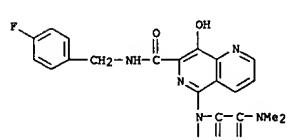
LS ANSWER 6 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



● Na
 RN 410545-86-7 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)

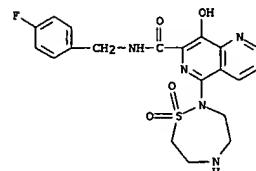


● Na
 RN 410545-90-3 CAPLUS
 CN Ethanediamide, [7-[[[4-fluorophenyl)methyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl]trimethyl- (9CI) (CA INDEX NAME)



LS ANSWER 6 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 RN 410545-36-7 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

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 CRN 410545-35-6
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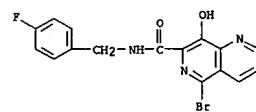
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 CRN 76-05-1
 CMF C2 H F3 O2



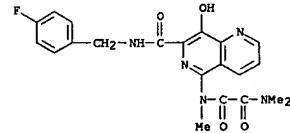
RN 410545-56-1 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluoro-2-(methylsulfonyl)phenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)

LS ANSWER 6 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

IT 410544-56-0P 410544-68-2P 410545-40-3P
 410545-63-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reagent or reagent)
 (intermediate prepn. of aza- and polyaza-naphthalenyl carboxamides as HIV integrase inhibitors)
 RN 410544-56-8 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-bromo-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

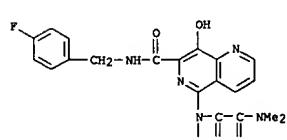


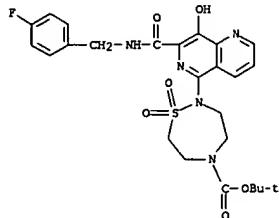
RN 410544-68-2 CAPLUS
 CN Ethanediamide, [7-[[[4-fluorophenyl)methyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl]trimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



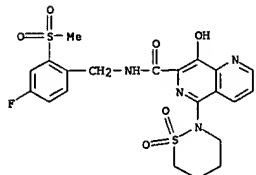
● HCl

RN 410545-40-3 CAPLUS
 CN 1,2,5-Thiadiazepine-5(2H)-carboxylic acid, 2-[7-[[[4-fluorophenyl)methyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl]tetrahydro-, 1,1-dimethylethyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)

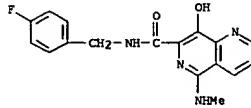




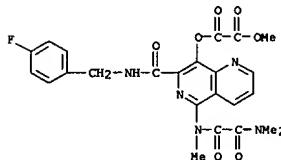
RN 410545-63-0 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluoro-2-(methylsulfonyl)phenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



IT 410544-67-1 411233-38-0
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reactants: prepn. of aza- and polyaza-naphthalenyl carboxamides as HIV integrase inhibitors)
 RN 410544-67-1 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(methylamino)- (9CI) (CA INDEX NAME)

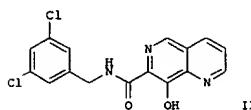
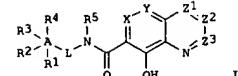


RN 411233-38-0 CAPLUS
 CN 1,6-Ethanediolic acid, 5-[(dimethylamino)oxoacetyl]methylamino-7-[(4-fluorophenyl)methyl]amino-1,6-naphthyridin-8-yl methyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2002:293652 CAPLUS
 DOCUMENT NUMBER: 136:325531
 TITLE: Preparation of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors
 INVENTOR(S): Anthony, Neville J.; Gomez, Robert P.; Young, Steven D.; Egbertson, Melissa; Wai, John S.; Zhang, Linghang; Embrey, Mark; Tran, Lekhanh; Maled, Jeffrey Y.; Langford, H. Marie; Guarie, James P.; Fisher, Thorsten E.; Jolly, Samson M.; Kuo, Michelle S.; Perlow, Debra S.; Bennett, Jennifer J.; Funk, Timothy W.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 434 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

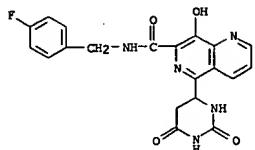
PATENT NO. KIND DATE APPLICATION NO. DATE
 WO 2002030930 A2 20020419 WO 2001-US31456 20011009
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 AU 2002011527 A5 20020422 AU 2002-11527 20011009
 EP 1326865 A2 20030716 EP 2001-979582 20011009
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 US 2003055071 A1 20030320 US 2001-973853 20011010
 PRIORITY APPLN. INFO.: US 2000-2397078 P 20001012
 US 2001-2816569 P 20010405
 WO 2001-US31456 W 20011009
 OTHER SOURCE(S): MARPAT 136:325531
 GI



A8 Title compds., including certain quinoline carboxamide and naphthyridine carboxamide derivs., I [wherein A = (un)substituted Ph or Ph fused to a carbocycle; L = a single bond, or (un)substituted alkyl, alkenyl, alkylcycloalkylalkyl or alkyl-M-alkyl; M = Nra, OCO, or CO2; X = N or CO1; Y = N or CO2, provided that X and Y are not both N; Z1 = N or CO3; Z2 = N or CO4; Z3 = N or CH; Q1-Q4 = independently H, halo, CN, NR1CR10, or (un)substituted alkyl, alkenyl, alkyne, alkynyl, carbamoyl, carbamimidamido, amino, etc.; or CO2CO1; (un)substituted 5- or 6-membered carbocycle or heterocycle; R1 and R2 = independently H, OH, halo, NO2, CN, or (un)substituted alkyl, alkenyl, alkyne, amino, sulfonylamino, etc.; R3 and R4 = independently H, halo, CN, NO2, OH, alkenyl, or (un)substituted alkyl, amino, sulfonylamino, etc.; R5 = H, CN, CN, or (un)substituted alkyl or aryl; R6 = independently H or (halo)alkyl; or pharmaceutically acceptable salts thereof] were prep'd. I are inhibitors of HIV integrase and inhibitors of HIV replication, and are useful in the prevention or treatment of infection by HIV and the treatment of AIDS, as compds. or pharmaceutically acceptable salts, or as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics, or vaccines. For example, Mitsunobu reaction of iso-Pr 3-(hydroxymethyl)pyridine-2-carboxylate with Me N-[(4-methylphenyl)sulfonyl]glycinate, followed by cyclization in the presence of NaOMe, afforded Me 8-hydroxy-1,6-naphthyridine-7-carboxylate. Coupling with 3,5-dichlorobenzylamine in toluene gave II. Representative compds. were assayed for the inhibition of acute HIV infection of T-lymphoid cells and demonstrated IC50 values of < 20 μM.

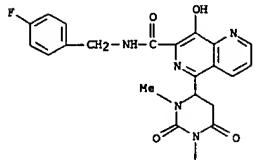
IT 410544-69-3, N-(4-Fluorobenzyl)-5-(2,6-dioxohexahydro-4-pyrimidin-4-yl)-8-hydroxy[1,6]naphthyridine-7-carboxamide 410544-73-9P, 5-(1,3-Dimethyl-2,6-dioxohexahydro-4-pyrimidinyl)-N-(4-fluorobenzyl)-8-hydroxy[1,6]naphthyridine-7-carboxamide
 RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(HIV integrase inhibitor; prepn. of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors for treatment of AIDS)
 RN 410544-69-3 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-2,6-dioxo-4-pyrimidinyl)-8-hydroxy- (9CI) (CA INDEX NAME)



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RN 410544-73-9 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-1,3-dimethyl-2,6-dioxo-4-pyrimidinyl)-8-hydroxy- (9CI) (CA INDEX NAME)

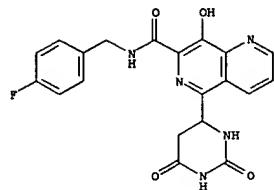


132

IT 410544-71-7P 410544-72-8P 410544-74-0P

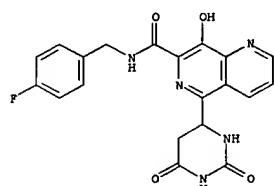
410544-75-1P
 RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (HIV integrase inhibitor; prepn. of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors for treatment of AIDS)
 RN 410544-71-7 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-2,6-dioxo-4-pyrimidinyl)-8-hydroxy-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



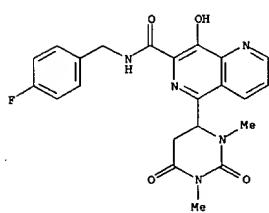
RN 410544-72-8 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-2,6-dioxo-4-pyrimidinyl)-8-hydroxy-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



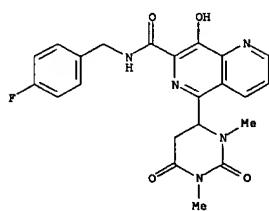
RN 410544-74-0 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-1,3-dimethyl-2,6-dioxo-4-pyrimidinyl)-8-hydroxy-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



RN 410544-75-1 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-1,3-dimethyl-2,6-dioxo-4-pyrimidinyl)-8-hydroxy-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



IT 410544-67-5P, N-(3,5-Dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410543-28-1P, 5-Chloro-N-(3,5-dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410543-39-4P, 5-Bromo-N-(3,5-dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410543-50-1P, 410544-56-8P, 5-Bromo-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410544-70-4P, N-(4-Fluorobenzyl)-8-hydroxy-5-(5-oxo-1,4-thiazepan-7-yl)-1,6-naphthyridine-7-carboxamide 410544-95-5P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410545-04-5P, N-(4-Fluorobenzyl)-8-hydroxy-5-(3-chlorophenyl)-4-(1,6-naphthyridine-7-carboxamide) 410545-20-9P, N-(4-Fluorobenzyl)-5-(1,1-dioxido-1,5,2-dithiazepan-2-yl)-8-hydroxy(5-naphthyridine-7-carboxamide) 410545-28-7P, N-(4-Fluorobenzyl)-5-[4-(methylsulfonyl)thiomorpholin-2-yl]-8-hydroxy(1,6-naphthyridine-7-carboxamide) 410545-63-0P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-N-(4-fluoro-2-(methylsulfonyl)benzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410545-69-6P,

Page 19

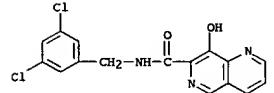
L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-8-hydroxy-N-[2-(methylsulfonyl)benzyl]-1,6-naphthyridine-7-carboxamide 410545-78-7P, N-[2-(Dimethylamino)sulfonyl]-4-fluorobenzyl)-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (HIV integrase inhibitor; prepn. of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors for treatment of AIDS)

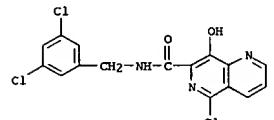
RN 410542-67-5 CAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

1)

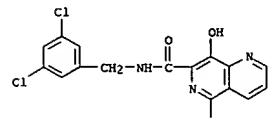


RN 410543-28-1 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-chloro-N-[(3,5-dichlorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



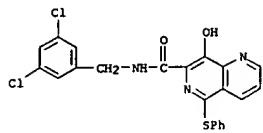
44)

RN 410543-39-4 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-bromo-N-[(3,5-dichlorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



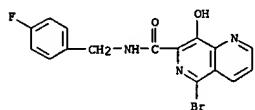
50)

RN 410543-60-1 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(phenylthio)- (9CI) (CA INDEX NAME)



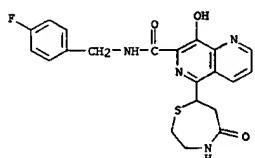
69

RN 410544-56-8 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-bromo-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



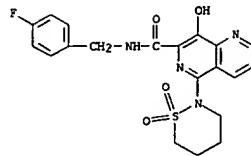
126

RN 410544-78-4 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-Fluorophenyl)methyl]-5-(hexahydro-1,4-thiazepin-7-yl)-8-hydroxy- (9CI) (CA INDEX NAME)



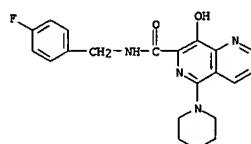
135

RN 410544-95-5 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-Fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



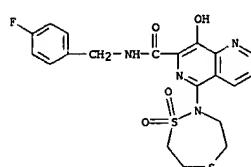
146

RN 410545-04-9 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(thiomorpholinyl)- (9CI) (CA INDEX NAME)



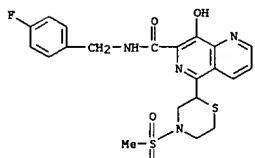
152

RN 410545-20-9 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,5,2-dithiazepin-2-yl)- (9CI) (CA INDEX NAME)



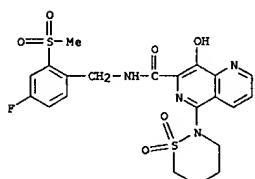
165

RN 410545-28-7 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(4-methylsulfonyl)-2-thiomorpholinyl)- (9CI) (CA INDEX NAME)



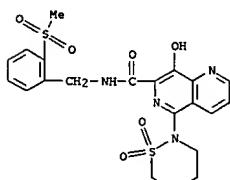
170

RN 410545-63-0 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluoro-2-(methylsulfonyl)phenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



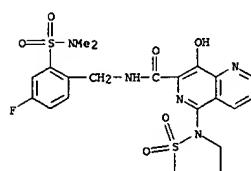
180

RN 410545-69-6 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-[(2-(methylsulfonyl)phenyl)methyl]-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



181

RN 410545-78-7 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(2-(dimethylamino)sulfonyl)-4-



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IT 410542-69-7P, N-(2,5-Dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410542-71-1P 410542-72-2P
 N-[2-(3-Chlorophenyl)ethyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide 410542-73-3P, N-[2-(2-Chlorophenyl)ethyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide 410542-74-4P, N-[2-(1,1'-Biphenyl-4-yl)ethyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide 410542-75-5P
 8-Hydroxy-N-[2-(4-phenoxypyhenyl)ethyl]-1,6-naphthyridine-7-carboxamide 410542-76-6P, 8-Hydroxy-N-(3-phenylpropyl)-1,6-naphthyridine-7-carboxamide 410542-77-7P, N-(1,1'-Biphenyl-2-ylmethyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410542-78-8P
 N-(1,1'-Biphenyl-3-ylmethyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410542-79-9P, 8-Hydroxy-N-phenyl-1,6-naphthyridine-7-carboxamide 410542-80-2P, N-(2-Chlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410542-81-3P, N-Benzyl-8-hydroxy-N-methyl-1,6-naphthyridine-7-carboxamide 410542-82-4P, 8-Hydroxy-N-(1-methyl-1-phenylethyl)-1,6-naphthyridine-7-carboxamide 410542-83-5P, 8-Hydroxy-N-(2-phenylethyl)-1,6-naphthyridine-7-carboxamide 410542-84-6P, 8-Hydroxy-N-(1-naphthylmethyl)-1,6-naphthyridine-7-carboxamide 410542-85-7P, N-Benzyl-8-hydroxy-N-phenyl-1,6-naphthyridine-7-carboxamide 410542-86-8P, N-(3-Chlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410542-87-9P, N-(4-Chlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410542-88-0P, Methyl (2S)-[(8-hydroxy-1,6-naphthyridin-7-yl)carbonyl]amino(phenyl)ethanote 410542-89-1P, Ethyl N-benzyl-N-[(8-hydroxy-1,6-naphthyridin-7-yl)carbonylglycinate 410542-90-2P, N-Benzyl-8-hydroxy-N-(2-phenylethyl)-1,6-naphthyridine-7-carboxamide 410542-91-3P, N-(1,2-Diphenylethyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410542-92-4P, N-(2,3-Dihydro-1H-inden-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410542-93-5P, N-Benzyl-8-hydroxy-1,6-naphthyridine-7-carboxamide 410542-95-6P, N-(2-Anilinoethyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410542-96-0P, N-(2,2-Diphenylethyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410542-98-2P, N-(3,3-Diphenylpropyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410542-00-9P, N-(2-Chloro-6-phenoxypyhenyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410542-03-2P, Methyl [(2S)-[(8-hydroxy-1,6-naphthyridin-7-yl)carbonyl]amino(phenyl)ethanote 410543-06-5P, 8-Hydroxy-1,6-naphthyridine-7-carboxamide 410543-07-6P, N-(2,3-Dihydro-1H-inden-1-ylmethyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410543-07-6P, N-(2,3-Dihydro-1H-inden-1-ylmethyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410543-09-8P

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 8-Hydroxy-N-[6,7,8,9-tetrahydro-5H-benzo[a]7]annulen-6-methyl-1,6-naphthyridine-7-carboxamide 410543-13-49, 8-Hydroxy-N-[2-(1-naphthyridin-1-yl)ethyl]-7-carboxamide 410543-15-6P , N-(2,3-Dihydro-1H-indan-2-ylmethyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410543-18-93, 8-Hydroxy-N-[(1R)-1-phenylethyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide 410543-20-3P, 8-Hydroxy-N-[(1S)-1-phenylethyl]-1,6-naphthyridine-7-carboxamide 410543-32-5P, 8-Hydroxy-N-[3-(hydroxymethyl)phenyl]ethyl]-1,6-naphthyridine-7-carboxamide 410543-23-9P, N-[2-(4-Chlorophenyl)ethyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide 410543-24-7P, 8-Hydroxy-N-[(1R)-2-hydroxy-1-phenylethyl]-1,6-naphthyridine-7-carboxamide 410543-25-8P, N-[(1S)-1-Benzyl-2-hydroxyethyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide 410543-26-9P, N-[(1R)-1-Benzyl-2-hydroxyethyl]-1,6-naphthyridine-7-carboxamide 410543-27-0P, 8-Hydroxy-1,6-naphthyridine-7-carboxamide 410543-32-7P, N-(3,5-Dichlorobenzyl)-8-hydroxy-5-piperidin-1-yl-1,6-naphthyridine-7-carboxamide 410543-33-0P, N-(3,5-Dichlorobenzyl)-8-hydroxy-5-phenyl-1,6-naphthyridine-7-carboxamide 410543-35-0P, N-(3,5-Dichlorobenzyl)-8-hydroxy-5-(1H-imidazol-1-yl)-1,6-naphthyridine-7-carboxamide 410543-36-1P, N-(3,5-Dichlorobenzyl)-8-hydroxy-5-morpholin-4-yl-1,6-naphthyridine-7-carboxamide 410543-37-2P, 8-Hydroxy-N-(cis-3-phenyl-2,3-dihydro-1H-inden-1-yl)-1,6-naphthyridine-7-carboxamide 410543-40-7P, N-(Benzyl)-8-hydroxy-5-phenyl-1,6-naphthyridine-7-carboxamide 410543-41-8P, N-(2,3-Dihydro-1H-inden-1-yl)-8-hydroxy-5-phenyl-1,6-naphthyridine-7-carboxamide 410543-42-9P, 8-Hydroxy-N-(1-naphthylmethyl)-5-phenyl-1,6-naphthyridine-7-carboxamide 410543-43-0P 410543-44-1P, N-(3-Chlorobenzyl)-8-hydroxy-5-phenyl-1,6-naphthyridine-7-carboxamide 410543-46-3P, N-(1S)-2,3-Dihydro-1H-inden-1-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410543-48-5P, N-(3,5-Dichlorobenzyl)-8-hydroxy-5-(4-methylpiperazin-1-yl)-1,6-naphthyridine-7-carboxamide 410543-49-6P , N-(3,5-Dichlorobenzyl)-8-hydroxy-5-(4-Benzylpiperazin-1-yl)-1,6-naphthyridine-7-carboxamide 410543-51-0P, N-(3,5-Dichlorobenzyl)-5-[4-(2-formylaminoethyl)piperazin-1-yl]-8-hydroxy-1,6-naphthyridine-7-carboxamide 410543-52-1N, N-(3,5-Dichlorobenzyl)-8-hydroxy-5-(4-pyridin-2-ylpiperazin-1-yl)-1,6-naphthyridine-7-carboxamide 410543-53-2P, N-(3,5-Dichlorobenzyl)-8-hydroxy-5-(4-pyrrolidin-1-ylpiperidin-1-yl)-1,6-naphthyridine-7-carboxamide 410543-54-3P, 5-Anilino-N-(3,5-dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410543-55-4P, N-(3,5-Dichlorobenzyl)-5-[3-(formylamino)propyl]amino)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410543-56-5P, N-(3,5-Dichlorobenzyl)-5-[2-(dimethylaminoethyl)amino]-8-hydroxy-1,6-naphthyridine-7-carboxamide 410543-57-6P, N-(3,5-Dichlorobenzyl)-8-hydroxy-5-[2-(morpholin-4-ylethyl)amino]-1,6-naphthyridine-7-carboxamide 410543-58-7P, 5-[1-(Benzylpiperidin-4-yl)amino]-N-(3,5-dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410543-59-8P, N-(3,5-Dichlorobenzyl)-5-[2-(dimethylaminoethyl)ethyl] (methylamino)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410543-61-2P 410543-62-3P, tert-Butyl 1-[7-((3,5-dichlorobenzyl)amino)carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl)pyrrolidin-3-ylcarbamate 410543-64-5P, 5-(3-Aminopyrrolidin-1-yl)-N-(3,5-dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 410544-03-5P, 1-[3-((7-[(3,5-Dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl)anil]propyl]pyrrolidine
 410544-04-6P, 1-[3-((7-[(3,5-Dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl)anil]propyl]pyrrolidine trifluoroacetate (1:1) 410544-05-7P, 1-(2-methoxyethyl)-4-[(7-[(3,5-dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl)piperazine 410544-06-8P, 1-(3-methoxyethyl)-4-[(7-[(3,5-dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl)piperazine trifluoroacetate (1:1) 410544-07-9P, N-(3-Dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
 410544-08-0P, N-(3-Dichlorobenzyl)-8-hydroxy-5-[(3-oxopyrrolidin-1-yl)propyl]amino-1,6-naphthyridine-7-carboxamide
 410544-09-1P, 2-[Benzyl]-7-[(3,5-dichlorobenzyl)amino]carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl)anil)ethanamine 410544-10-4P, 2-[Benzyl]-7-[(3,5-dichlorobenzyl)amino]carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl)anil
 410544-11-5P, 1-[3-((7-[(3,5-Dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl)anil]ethanamine trifluoroacetate (1:1) 410544-12-6P, 1-[3-((7-[(3,5-Dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl)-1H-imidazo[4,5-b]pyridine 410544-13-7P, 1-[(7-[(3,5-Dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl)-1H-imidazo[4,5-b]pyridine 410544-14-8P, 1-[(7-[(3,5-Dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl)-1H-imidazo[4,5-b]pyridine trifluoroacetate (1:1) 410544-15-9P, 3-[(7-[(3,5-Dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl)-3H-imidazo[4,5-b]pyridine 410544-16-0P, 3-[(7-[(3,5-Dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl)-3H-imidazo[4,5-b]pyridine trifluoroacetate (1:1) 410544-17-1P, N-(3,5-Dichlorobenzyl)-8-hydroxy-5-[(4-(3-methyl-2-oxoimidazolidin-1-yl)phenyl)amino]-1,6-naphthyridine-7-carboxamide 410544-18-2P, N-(3,5-Dichlorobenzyl)-8-hydroxy-5-(1,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl)-1,6-naphthyridine-7-carboxamide 410544-20-6P, N-(3,5-Dichlorobenzyl)-8-hydroxy-5-[(2R)-5-oxopyrrolidin-2-yl]methylamino]-1,6-naphthyridine-7-carboxamide 410544-22-8P, N-(3,5-Dichlorobenzyl)-8-hydroxy-5-[(5-oxo-4,5-dihydro-1H-1,2,4-triazol-3-yl)methyl]amino]-1,6-naphthyridine-7-carboxamide 410544-23-9P, 2-[(7-[(3,5-Dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl]octahydropyrole[1,2-a]pyrazine 410544-24-0P, 2-[(7-[(3,5-Dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl]octahydropyrole[1,2-a]pyrazine trifluoroacetate (1:1) 410544-25-1P, N-(3,5-Dichlorobenzyl)-8-hydroxy-5-(4-(pyrimidin-2-yl)anil)pyridin-1-yl]-1,6-naphthyridine-7-carboxamide 410544-26-2P, N-(3,5-Dichlorobenzyl)-8-hydroxy-5-(4-(pyrimidin-2-yl)anil)pyridin-1-yl]-1,6-naphthyridine-7-carboxamide trifluoroacetate (1:1) 410544-27-3P, 2-[2-[(7-[(3,5-Dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl][methyl]amino]ethyl]pyridine 410544-28-4P, 2-[(7-[(3,5-Dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl][methyl]amino]ethyl]pyridine trifluoroacetate (1:1) 410544-29-5P, N-(3,5-Dichlorobenzyl)-5-(dimethylamino)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410544-30-6P, N-(3,5-Difluorobenzyl)-8-hydroxy-5-(methylsulfonyl)-1,6-naphthyridine-7-carboxamide 410544-33-1P, N-(3,5-Difluorobenzyl)-8-hydroxy-5-(methylsulfonyl)-1,6-naphthyridine-7-carboxamide trifluoroacetate (1:1) 410544-34-2P, 5-Cyano-N-(2,3-dimethoxybenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410544-36-4P, N-(3,5-Dichlorobenzyl)-8-hydroxy-5-thien-2-yl-1,6-naphthyridine-7-carboxamide 410544-39-7P, 8-Hydroxy-5-phenylsulfonyl[1,6]naphthyridine-7-carboxylic acid 2-methylsulfonylbenzylamide 410544-43-3P, N-(2,3-Dimethoxybenzyl)-

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS ON STN (Continued)
 trifluoroacetate **410543-65-69**, *N*-(3,5-Dichlorobenzyl)-6-hydroxy-5-(4H-1,2,4-triazol-4-yl)-1,6-naphthyridine-7-carboxamide
410543-66-70, *N*-(3,5-Dichlorobenzyl)-8-hydroxy-5-(1H-1,2,4-triazol-1-yl)-1,6-naphthyridine-7-carboxamide **410543-67-89**, *N*-(3,5-Dichlorobenzyl)-9-hydroxy-5-(3-hydroxypyrrrolidin-1-yl)-1,6-naphthyridine-7-carboxamide **410543-68-99**, *N*-(3,5-Dichlorobenzyl)-10-hydroxy-5-(3-hydroxypyrrrolidin-1-yl)-1,6-naphthyridine-7-carboxamide **410543-69-00**, *N*-(3,5-Dichlorobenzyl)-5-(4-formylpiperazine-1-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide **410543-70-09**, *N*-(3,5-Dichlorobenzyl)-1,6-naphthyridin-5-ylpiperazine **410543-71-49**, 1-(7-[(3,5-Dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yltrifluoroacetate (1:1) **410543-72-59**, **410543-73-19**, 1,7-[(7-[(3,5-Dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl]-4-(2-oxo-2-pyrrolidin-1-ylethyl)piperazine **410543-74-65**, 1,6-naphthyridin-5-yl-1-(4-(2-oxo-2-pyrrolidin-1-ylethyl)piperazine **410543-75-79**, 1,7-[(7-[(3,5-Dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl]-4-[(2-oxo-2-pyrrolidin-1-ylethyl)piperazine **410543-76-85**, 8-Hydroxy-5-(3-piperidin-1-yl)pyrrol-1-yl)-[1,6]naphthyridine-7-carboxylic acid **3-59**, dichlorobenzylamide **410543-81-69**, 8-Hydroxy-5-(3-piperidin-1-yl)pyrrol-1-yl)-[1,6]naphthyridine-7-carboxylic acid **3-59**, dichlorobenzylamide trifluoroacetate (20:1) **410543-84-99**, *N*-(3,5-Dichlorobenzyl)-8-hydroxy-5-thiomorpholin-4-yl-1,6-naphthyridine-7-carboxamide **410543-85-00**, *N*-(3-(Aminocarbonyl)piperidin-1-yl)-N-(3,5-dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide **410543-86-19**, 1,7-[(7-[(3,5-Dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl]-4-(2-(phenylethyl)l)piperazine **410543-87-29**, 1-[(7-[(3,5-Dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl]-4-[(2-phenylethyl)piperazine trifluoroacetate (1:1) **410543-88-39**, 4-[(7-[(3,5-Dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl]amino-1,6-naphthyridin-5-ylamino[1]pyridine **410543-89-49**, 4-[(7-[(3,5-Dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl]amino[1]pyridine trifluoroacetate (1:1) **410543-90-79**, 5-[Cyclopropylmethyl]amino-1-(3,5-dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide **410543-91-98**, *N*-(3,5-Dichlorobenzyl)-5-[2-(formylamino)ethyl]amino-1,6-naphthyridine-7-carboxamide **410543-92-99**, 1-[(7-[(3,5-Dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl]-2-[(7-[(3,5-Dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl]amino[1]ethanamine **410543-93-09**, 2-[(7-[(3,5-Dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl]amino[1]ethanamine trifluoroacetate (1:1) **410543-94-19**, *N*-(3,5-Dichlorobenzyl)-8-hydroxy-5-[2-(methoxethyl)amino]-1,6-naphthyridine-7-carboxamide **410543-95-29**, *N*-(3,5-Dichlorobenzyl)-8-hydroxy-5-[(2-(methylthio)ethyl)amino]-1,6-naphthyridine-7-carboxamide **410543-96-39**, 1,2-[(7-[(3,5-Dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl]-1-[(7-[(3,5-Dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl]amino[1]ethyl[1]pyrrolidine trifluoroacetate (1:1) **410544-02-49**, 1-[(7-[(3,5-Dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl]amino[1]propyl[1]imidazole trifluoroacetate (1:1) **410543-98-59**, *N*-(3,5-Dichlorobenzyl)-8-hydroxy-5-pyrrolidin-1-yl-1,6-naphthyridine-7-carboxamide **410543-99-69**, 3-[2-[(7-[(3,5-Dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl]amino[1]ethyl]pyridine **410544-00-29**, 3-[2-[(7-[(3,5-Dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl]amino[1]ethyl]pyridine trifluoroacetate (1:1) **410544-02-49**, 1-[(3-[(7-[(3,5-Dichlorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl]amino)propyl]-1H-imidazole trifluoroacetate (1:1)

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 8-hydroxy-5-[(methylsulfonyl)-1,6-naphthyridine-7-carboxamido]-
 410544-44-4P, N-[2-(3-Dimethoxybenzyl)-1,6-naphthyridine-7-carboxamido]-
 410544-45-5P, N-[3-(3-Dichlorobenzyl)-8-hydroxy-5-[(2-hydroxyethyl)-
 amino]-1,6-naphthyridine-7-carboxamide 410544-46-5P
 , N-(3-Dichlorobenzyl)-8-hydroxy-5-[(propylamino)-1,6-naphthyridine-7-
 carboxamide 410544-47-7P, 410544-48-8P
 N-(3-Dichlorobenzyl)-8-hydroxy-5-[(3-phenylpropyl)amino]-1,6-
 naphthyridine-7-carboxamide 410544-49-9P
 N-(3-Dichlorobenzyl)-8-hydroxy-5-[(3-morpholin-4-ylpropyl)amino]-1,6-
 naphthyridine-7-carboxamide 410544-50-2P, N-(3-Dichlorobenzyl)-
 8-hydroxy-5-[(pyridin-2-ylmethyl)pyrazin-1-yl]-1,6-naphthyridine-7-
 carboxamide 410544-51-3P, N-(3-Dichlorobenzyl)-8-hydroxy-5-[(2-
 morpholin-4-yl-2-pyridin-3-ylethyl)amino]-1,6-naphthyridine-7-carboxamide
 410544-53-5P, N-(2,3-Dimethoxybenzyl)-5-[(4-
 (dimethylamino)phenyl)thio]-8-hydroxy-1,6-naphthyridine-7-carboxamide
 410544-54-6P, 8-Hydroxy-5-methyl-[1,6]naphthyridine-7-carboxylic
 acid 3,5-dichlorobenzylamide 410544-55-7P, 8-Hydroxy-5-
 methyl-[1,6]naphthyridine-7-carboxylic acid 4-fluorobenzylamide
 410544-58-0P, 1-[7-[(4-Fluorobenzyl)amino]carbonyl]-8-hydroxy-1,6-
 naphthyridin-5-yl-4-methylpiperazine trifluoroacetate (1:1)
 410544-59-1P, 1-[7-[(4-Fluorobenzyl)amino]carbonyl]-8-hydroxy-1,6-
 naphthyridin-5-ylpiperazine 410544-60-4P, 1-[7-[(4-
 Fluorobenzyl)amino]carbonyl]-8-hydroxy-1,6-naphthyridin-5-ylpiperazine
 trifluoroacetate (1:1) 410544-66-0P, 5-[(2-(dimethylamo)-2-
 oxoethyl)(methyl)amino]-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-
 carboxamide 410544-68-2P, 410544-76-2P,
 5-[(1-Methyl-2,6-dioxohexahydro-4-pyrimidinyl)-N-(4-fluorobenzyl)-8-
 hydroxy-[1,6]naphthyridine-7-carboxamide 410544-77-3P,
 5-[(3-Methyl-2,6-dioxohexahydro-4-pyrimidinyl)-N-(4-fluorobenzyl)-8-
 hydroxy-[1,6]naphthyridine-7-carboxamide 410544-79-5P,
 N-(4-Fluorobenzyl)-8-hydroxy-5-[(1-oxido-5-oxo-1,4-thiazepan-7-yl)-
 [1,6]naphthyridine-7-carboxamide 410544-80-8P,
 N-(4-Fluorobenzyl)-8-hydroxy-5-[(1,4-dioxido-5-oxo-1,4-thiazepan-7-yl)-
 naphthyridine-7-carboxamide 410544-81-9P, N-(4-Fluorobenzyl)-5-
 [(2-(dimethylamo)-2-oxoethyl)sulfonyl]-8-hydroxy[1,6]naphthyridine-7-
 carboxamide 410544-82-0P, N-(4-Fluorobenzyl)-5-[(2-
 (dimethylamino)-2-oxoethyl)-8-hydroxy[1,6]naphthyridine-7-carboxamide
 410544-85-3P, N-(4-Fluorobenzyl)-5-[(2-(dimethylamino)-2-
 oxoethyl)(methylsulfonyl)amino]-8-hydroxy[1,6]naphthyridine-7-carboxamide
 410544-87-5P, N-(4-Fluorobenzyl)-5-[(dimethylamino)-3-oxopropyl]-
 8-hydroxy[1,6]naphthyridine-7-carboxamide 410544-89-7P,
 N-(4-Fluorobenzyl)-5-[(1E)-3-(dimethylamino)-3-oxo-1-propenyl]-8-
 hydroxy[1,6]naphthyridine-7-carboxamide 410544-90-0P,
 N-(4-Fluorobenzyl)-5-[(2-(3-oxo-1-piperazinyl)ethyl)-8-
 hydroxy[1,6]naphthyridine-7-carboxamide 410544-91-1P,
 N-(4-Fluorobenzyl)-5-[(2-(2-oxo-1-imidazolidinyl)ethyl)-8-
 hydroxy[1,6]naphthyridine-7-carboxamide 410544-92-2P,
 N-(4-Fluorobenzyl)-5-[(2-(2-oxo-1-piperazinyl)ethyl)-8-
 hydroxy[1,6]naphthyridine-7-carboxamide 410544-96-5P,
 5-[(1,1-Dioxidoisothiazolidin-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-
 naphthyridine-7-carboxamide 410544-97-7P, N-(4-Fluorobenzyl)-8-
 hydroxy-5-[(methylsulfonyl)amino]-1,6-naphthyridine-7-carboxamide
 410544-98-8P, 5-(Acetyl(methyl)amino)-N-(4-fluorobenzyl)-8-hydroxy-
 1,6-naphthyridine-7-carboxamide 410544-99-9P,
 5-[(dimethylamo)ho]carbonyl(methyl)amino]-N-(4-fluorobenzyl)-8-hydroxy-
 1,6-naphthyridine-7-carboxamide 410545-02-7P
 410545-05-0P, 5-(1,1-Dioxidothiomorpholin-4-yl)-N-(4-fluorobenzyl)-
 8-hydroxy-1,6-naphthyridine-7-carboxamide 410545-06-1P,

LS ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

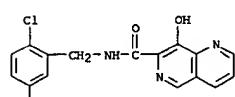
N-(4-Fluorobenzyl)-8-hydroxy-5-(4-methyl-3-oxopiperazin-1-yl)-1,6-naphthyridine-7-carboxamide 410545-07-2P, N-[7-((4-Fluorobenzyl)amino)carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl-L-prolinamide 410545-08-3P, N-[4-(Fluorobenzyl)-8-hydroxy-5-(2-oxotetrahydro-1-(2H)-imid-1-yl)-1,6-naphthyridine-7-carboxamide 410545-09-4P, N-[4-(Fluorobenzyl)-8-hydroxy-5-(2-oximidazolidin-1-yl)-1,6-naphthyridine-7-carboxamide 410545-10-7P 410545-14-1P 410545-15-2P 410545-16-3P 410545-17-4P, N-(4-Fluorobenzyl)-5-(1,1-dioxido-4-oxo-1,2,5-thiadiazepan-2-yl)-8-hydroxy[1,6]naphthyridine-7-carboxamide 410545-18-5P, N-(4-Fluorobenzyl)-5-(1,1-dioxido-5-methyl-4-oxo-1,2,5-thiadiazepan-5-yl)-8-hydroxy[1,6]naphthyridine-7-carboxamide 410545-19-6P, N-(4-Fluorobenzyl)-5-(1,1-dioxido-5-ethyl-4-oxo-1,2,5-thiadiazepan-5-yl)-8-hydroxy[1,6]naphthyridine-7-carboxamide 410545-21-0P, N-(4-Fluorobenzyl)-5-(1,1,5,5-tetraoxido-1,5,2-dithiiazepan-2-yl)-8-hydroxy[1,6]naphthyridine-7-carboxamide 410545-22-1P, N-(4-Fluorobenzyl)-5-(1,4-dimethyl-7-oxo-1,4-diazepan-5-yl)-8-hydroxy[1,6]naphthyridine-7-carboxamide 410545-23-2P 410545-24-3P, N-(4-Fluorobenzyl)-5-(1-methyl-7-oxo-1,4-diazepan-5-yl)-8-hydroxy[1,6]naphthyridine-7-carboxamide 410545-25-4P 410545-26-5P, N-(4-Fluorobenzyl)-5-(7-oxo-1,4-diazepan-5-yl)-8-hydroxy[1,6]naphthyridine-7-carboxamide 410545-27-6P 410545-31-2P

, N-(4-Fluorobenzyl)-5-[(4-methylsulfonyl)amino]-1-oxidothiomorpholin-2-yl]-8-hydroxy[1,6]naphthyridine-7-carboxamide 410545-32-3P, N-(4-Fluorobenzyl)-5-[(4-methylsulfonyl)amino]-1,1-dioxidothiomorpholin-2-yl]-8-hydroxy[1,6]naphthyridine-7-carboxamide 410545-33-4P, 5-(2-Acetyl-1,6-naphthyridin-3-yl)-N-(4-Fluorobenzyl)-8-hydroxy[1,6]naphthyridine-7-carboxamide 410545-35-6P, N-(4-Fluorobenzyl)-5-(1,1-dioxido-1,2,5-thiadiazepan-2-yl)-8-hydroxy[1,6]naphthyridine-7-carboxamide 410545-36-7P 410545-41-4P, N-(4-Fluorobenzyl)-8-hydroxy-5-(5-(methylsulfonyl)-1,1-dioxido-1,2,5-thiadiazepan-2-yl)-1,6-naphthyridine-7-carboxamide 410545-43-6P, N-(4-Fluorobenzyl)-8-hydroxy-5-(6-methyl-1,1-dioxido-1,2,6-thiadiazinan-2-yl)-1,6-naphthyridine-7-carboxamide 410545-44-7P, N-(4-Fluorobenzyl)-8-hydroxy-5-(1-methyl-1H-imidazol-4-yl)sulfonyl)amino)-1,6-naphthyridine-7-carboxamide 410545-47-0P, N-(4-Fluoro-2-(methylsulfonyl)benzyl)-8-hydroxy-5-[methyl(1-methyl-1H-imidazol-4-yl)sulfonyl]amino-1,6-naphthyridine-7-carboxamide 410545-50-5P, N-(4-Fluoro-2-(methylsulfonyl)benzyl)-8-hydroxy-5-(5-methyl-1,1-dioxido-1,2-thiazinan-2-yl)-1,6-naphthyridine-7-carboxamide 410545-56-1P, Sodium 5-(1,1-dioxido-1,2-thiazinan-2-yl)-7-[(4-fluoro-2-(methylsulfonyl)benzyl)amino]carbonyl]-1,6-naphthyridin-8-olate 410545-54-4P 410545-70-9P, Sodium 7-[(2-(dimethylamino)sulfonyl)-4-fluorocarbonyl]amino]carbonyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-1,6-naphthyridine-7-carboxamide sodium salt 410545-87-7P, 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410545-88-9P, 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-(4-(4-Fluorobenzyl)amino)carbonyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410545-89-0P, 1-7-[(4-Fluorobenzyl)amino]carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl)-4-methylpiperazine 410545-90-3P, N1-(7-[(4-Fluorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl)-

LS ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

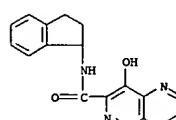
N1,N2,N2-trimethylmethanamide 410545-91-4P, N7-(4-Fluorobenzyl)-8-hydroxy-N5,N5-dimethyl-1,6-naphthyridine-5,7-dicarboxamide 410545-92-5P, N7-(4-Fluorobenzyl)-8-hydroxy-N5-(2-morpholin-4-ylethyl)-1,6-naphthyridine-5,7-dicarboxamide 410545-93-6P, N7-(4-Fluorobenzyl)-8-hydroxy-N5-(2-methyl-1,6-naphthyridine-5,7-dicarboxamide) 410545-94-7P, N5-[2-(Dimethylamino)-2-oxoethyl]-N7-(4-fluorobenzyl)-8-hydroxy-N5-methyl-1,6-naphthyridine-5,7-dicarboxamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); PREP (Preparation); USES (The therapeutic use); BIOL (Biological study); PREP (Preparation); USES (HIV integrase inhibitor; prepn. of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors for treatment of AIDS)

RN 410542-69-7 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[2,5-dichlorophenyl]methyl)-8-hydroxy-(9CI) (CA INDEX NAME)



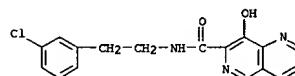
2)

RN 410542-71-1 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-(2,3-dihydro-1H-inden-1-yl)-8-hydroxy-(9CI) (CA INDEX NAME)



3)

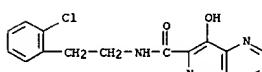
RN 410542-72-2 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[2-(3-chlorophenyl)ethyl]-8-hydroxy-(9CI) (CA INDEX NAME)



4)

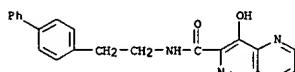
LS ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 410542-73-3 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[2-(2-chlorophenyl)ethyl]-8-hydroxy-(9CI) (CA INDEX NAME)



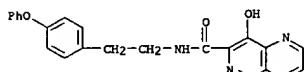
5)

RN 410542-74-4 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-(2-[1,1'-biphenyl]-4-ylethyl)-8-hydroxy-(9CI) (CA INDEX NAME)



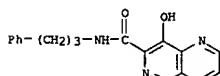
6)

RN 410542-75-5 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-[2-(4-phenoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



7)

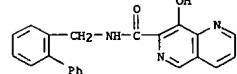
RN 410542-76-6 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)



8)

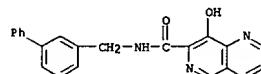
RN 410542-77-7 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-((1,1'-biphenyl)-2-ylmethyl)-8-hydroxy-(9CI) (CA INDEX NAME)

LS ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



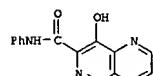
9)

RN 410542-78-8 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-((1,1'-biphenyl)-3-ylmethyl)-8-hydroxy-(9CI) (CA INDEX NAME)



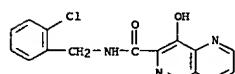
10)

RN 410542-79-9 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-phenyl- (9CI) (CA INDEX NAME)



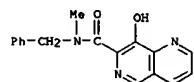
11)

RN 410542-80-0 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(2-chlorophenyl)methyl]-8-hydroxy-(9CI) (CA INDEX NAME)



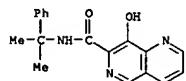
12)

RN 410542-81-3 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



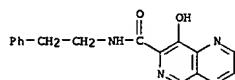
13)

RN 410542-82-4 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-(1-methyl-1-phenylethyl)- (9CI) (CA INDEX NAME)



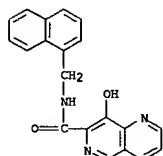
14)

RN 410542-83-5 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



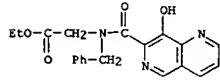
15)

RN 410542-84-6 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-(1-naphthalenylmethyl)- (9CI) (CA INDEX NAME)



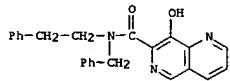
16)

RN 410542-85-7 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



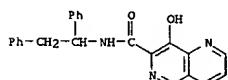
21)

RN 410542-90-4 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-(2-phenylethyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



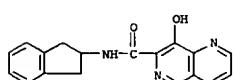
22)

RN 410542-91-5 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-(1,2-diphenylethyl)-8-hydroxy- (9CI) (CA INDEX NAME)



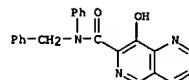
23)

RN 410542-92-6 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-(2,3-dihydro-1H-inden-2-yl)-8-hydroxy- (9CI) (CA INDEX NAME)



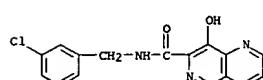
24)

RN 410542-93-7 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



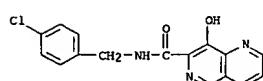
17)

RN 410542-86-8 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-(3-chlorophenyl)methyl-8-hydroxy- (9CI) (CA INDEX NAME)



18)

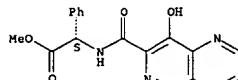
RN 410542-87-9 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-(4-chlorophenyl)methyl-8-hydroxy- (9CI) (CA INDEX NAME)



19)

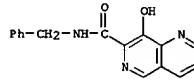
RN 410542-88-0 CAPLUS
CN Benzenoacetic acid, .alpha.-[(8-hydroxy-1,6-naphthyridin-7-yl)carbonyl]amino-, methyl ester, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



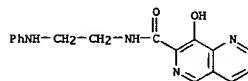
20)

RN 410542-89-1 CAPLUS
CN Glycine, N-[{(8-hydroxy-1,6-naphthyridin-7-yl)carbonyl]-N-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)



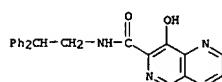
25)

RN 410542-95-9 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-[2-(phenylamino)ethyl]- (9CI) (CA INDEX NAME)



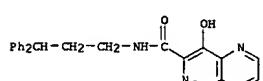
26)

RN 410542-96-0 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-(2,2-diphenylethyl)-8-hydroxy- (9CI) (CA INDEX NAME)



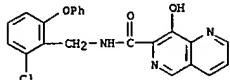
27)

RN 410542-98-2 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-(3,3-diphenylpropyl)-8-hydroxy- (9CI) (CA INDEX NAME)



28)

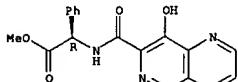
RN 410543-00-9 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-(2-chloro-6-phenoxypyhenyl)methyl-8-hydroxy- (9CI) (CA INDEX NAME)



29)

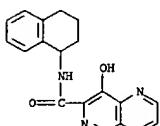
RN 410543-03-2 CAPLUS
 CN Benzeneacetic acid, .alpha.-[(8-hydroxy-1,6-naphthyridin-7-yl)carbonyl]amino-, methyl ester, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



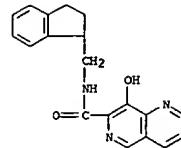
30)

RN 410543-06-5 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)



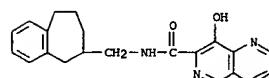
31)

RN 410543-07-6 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(2,3-dihydro-1H-inden-1-yl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



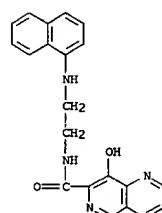
32)

RN 410543-09-8 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-[(6,7,8,9-tetrahydro-5H-benzocyclohepten-6-yl)methyl]- (9CI) (CA INDEX NAME)



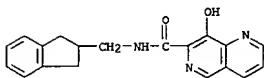
33)

RN 410543-13-4 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-[2-(1-naphthalenylamino)ethyl]- (9CI) (CA INDEX NAME)



34)

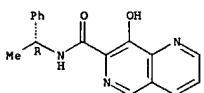
RN 410543-15-6 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(2,3-dihydro-1H-inden-2-yl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



35)

RN 410543-18-9 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

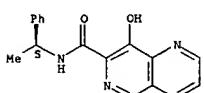
Absolute stereochemistry.



36)

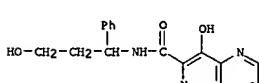
RN 410543-20-3 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-[(1S)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



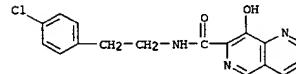
37)

RN 410543-22-5 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-(3-hydroxy-1-phenylpropyl)- (9CI) (CA INDEX NAME)



38)

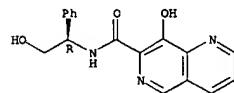
RN 410543-23-6 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[2-(4-chlorophenyl)ethyl]-8-hydroxy- (9CI) (CA INDEX NAME)



39)

RN 410543-24-7 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-[(1R)-2-hydroxy-1-phenylethyl]- (9CI) (CA INDEX NAME)

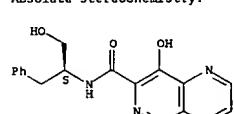
Absolute stereochemistry.



40)

RN 410543-25-8 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

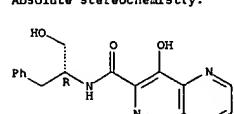
Absolute stereochemistry.



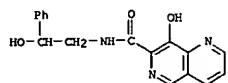
41)

RN 410543-26-9 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-[(1R)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

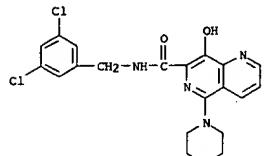


42)



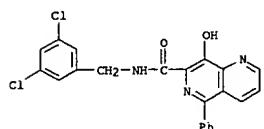
43

RN 410543-32-7 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(1-piperidinyl)- (9CI) (CA INDEX NAME)



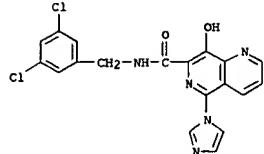
45

RN 410543-33-8 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-phenyl- (9CI) (CA INDEX NAME)



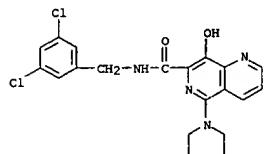
46

RN 410543-35-0 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



47

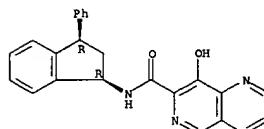
RN 410543-36-1 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(4-morpholinyl)- (9CI) (CA INDEX NAME)



48

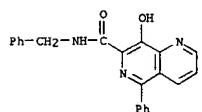
RN 410543-37-2 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(1R,3R)-2,3-dihydro-3-phenyl-1H-inden-1-yl]-8-hydroxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



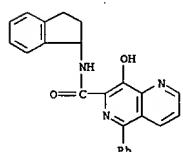
49

RN 410543-40-7 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-5-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



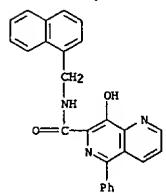
51

RN 410543-41-8 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-(2,3-dihydro-1H-inden-1-yl)-8-hydroxy-5-phenyl- (9CI) (CA INDEX NAME)



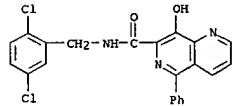
52

RN 410543-42-9 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-(1-naphthalenylmethyl)-5-phenyl- (9CI) (CA INDEX NAME)



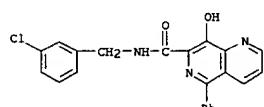
53

RN 410543-43-0 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(2,5-dichlorophenyl)methyl]-8-hydroxy-5-phenyl- (9CI) (CA INDEX NAME)



54

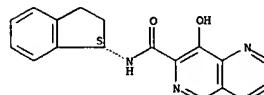
RN 410543-44-1 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3-chlorophenyl)methyl]-8-hydroxy-5-phenyl- (9CI) (CA INDEX NAME)



55

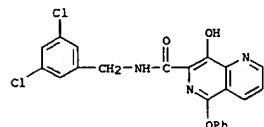
RN 410543-46-3 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(1S)-2,3-dihydro-1H-inden-1-yl]-8-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



56

RN 410543-48-5 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-phenoxy- (9CI) (CA INDEX NAME)

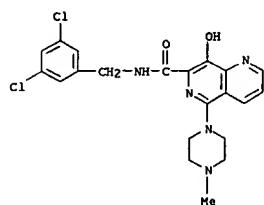


57

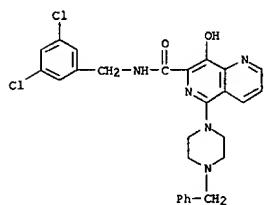
RN 410543-49-6 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-

LS ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
5-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

(Continued)



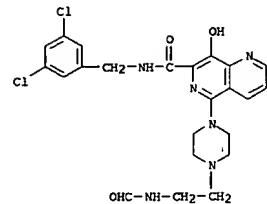
58

RN 410543-50-9 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

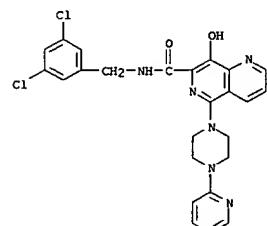
59

RN 410543-51-0 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-5-[4-(2-formylaminoethyl)-1-piperazinyl]-8-hydroxy- (9CI) (CA INDEX NAME)

LS ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



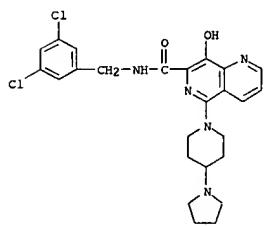
60

RN 410543-52-1 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[4-(2-pyridinyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

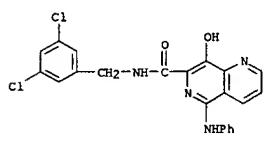
61

RN 410543-53-2 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[4-(1-pyrrolidinyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

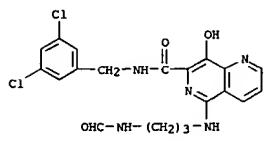
LS ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



62

RN 410543-54-3 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(phenylamino)- (9CI) (CA INDEX NAME)

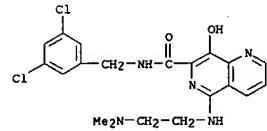
63

RN 410543-55-4 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-5-[4-(3-formylamino)propyl]amino]-8-hydroxy- (9CI) (CA INDEX NAME)

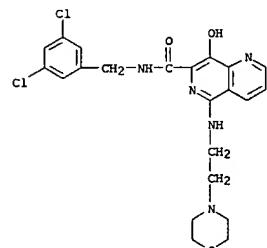
64

RN 410543-56-5 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-5-[4-(2-dimethylaminoethyl)amino]-8-hydroxy- (9CI) (CA INDEX NAME)

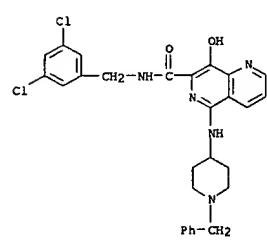
LS ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



65

RN 410543-57-6 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[4-(4-morpholinyl)ethyl]amino- (9CI) (CA INDEX NAME)

66

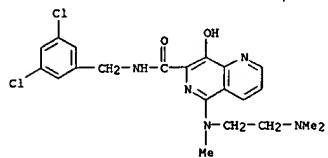
RN 410543-58-7 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[4-(1-phenylmethyl)-4-piperidinyl]amino- (9CI) (CA INDEX NAME)

67

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

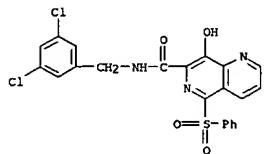
RN 410543-59-8 CAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-5-[(2-dimethylamino)ethyl]methylamino]-8-hydroxy- (9CI) (CA INDEX NAME)



RN 410543-61-2 CAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 410543-62-3 CAPLUS

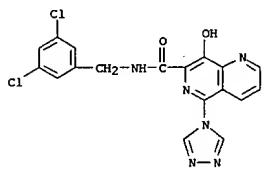
CN Carbamic acid, [1-[(7-[[[(3,5-dichlorophenyl)methyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



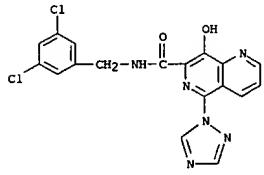
RN 410543-65-6 CAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(4H-1,2,4-triazol-4-yl)- (9CI) (CA INDEX NAME)



RN 410543-66-7 CAPLUS

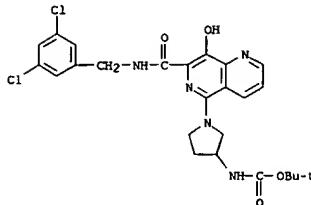
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(1H-1,2,4-triazol-1-yl)- (9CI) (CA INDEX NAME)



RN 410543-67-8 CAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(3-hydroxy-1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



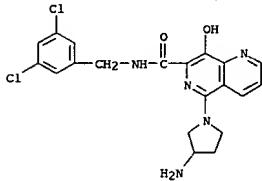
RN 410543-64-5 CAPLUS

CN 1,6-Naphthyridine-7-carboxamide, 5-[(3-amino-1-pyrrolidinyl)-N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 410543-63-4

CMF C20 H19 Cl2 NS O2

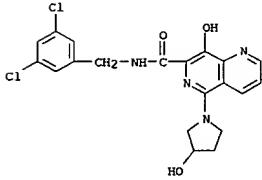


CM 2

CRN 76-05-1

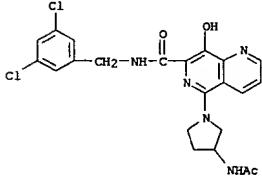
CMF C2 H F3 O2

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



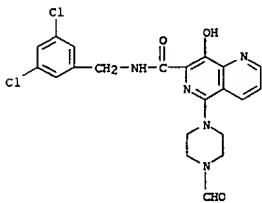
RN 410543-68-9 CAPLUS

CN 1,6-Naphthyridine-7-carboxamide, 5-[(3-acetylamino)-1-pyrrolidinyl]-N-[(3,5-dichlorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



RN 410543-69-0 CAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-5-(4-formyl-1-piperazinyl)-8-hydroxy- (9CI) (CA INDEX NAME)

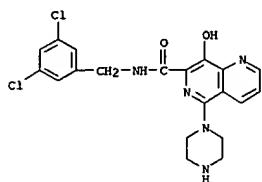


RN 410543-70-3 CAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-

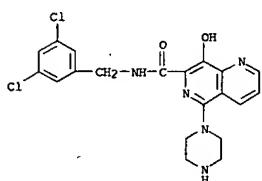
L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
5-(1-piperazinyl)- (9CI) (CA INDEX NAME)

(Continued)



78

RN 410543-71-4 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(1-piperazinyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)
 CM 1
 CRN 410543-70-3
 CMF C20 H19 Cl2 N3 O2



salt

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

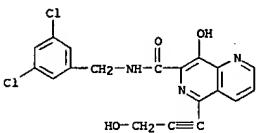


RN 410543-73-6 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(3-hydroxy-1-propynyl)-, trifluoroacetate (5:2) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 410543-72-5

CMF C19 H13 Cl2 N3 O3



salt 79

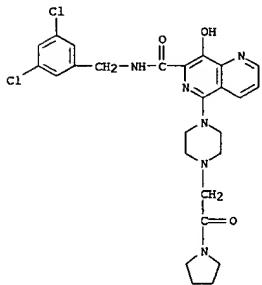
CM 2
 CRN 76-05-1
 CMF C2 H F3 O2



RN 410543-78-1 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(4-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1-piperazinyl)- (9CI) (CA INDEX NAME)

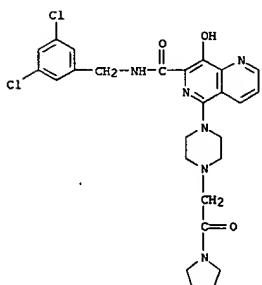
CM 2
 CRN 76-05-1
 CMF C2 H F3 O2

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



80

RN 410543-79-2 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(4-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1-piperazinyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)
 CM 1
 CRN 410543-78-1
 CMF C26 H28 Cl2 N6 O3

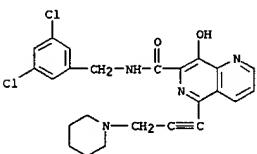


salt

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 410543-80-5 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[3-(1-piperidinyl)-1-propynyl]- (9CI) (CA INDEX NAME)



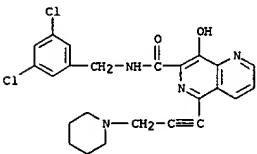
81

RN 410543-81-6 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[3-(1-piperidinyl)-1-propynyl]-, trifluoroacetate (20:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 410543-80-5

CMF C24 H22 Cl2 N4 O2



salt

CM 2

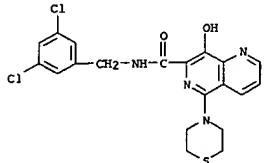
CRN 76-05-1

CMF C2 H F3 O2

CM 2

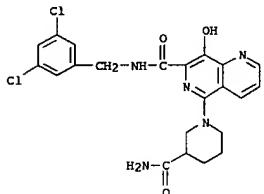


RN 410543-84-9 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[4-(thiomorpholinyl)- (9CI) (CA INDEX NAME)



82

RN 410543-85-0 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 5-[3-(aminocarbonyl)-1-piperidinyl]-N-[(3,5-dichlorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

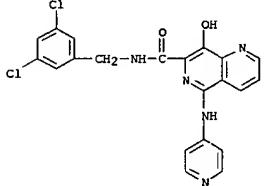


83

RN 410543-86-1 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[4-(2-phenylethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



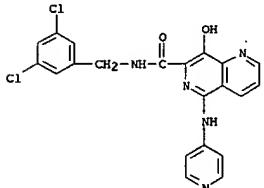
RN 410543-88-3 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[4-pyridinylamino]- (9CI) (CA INDEX NAME)



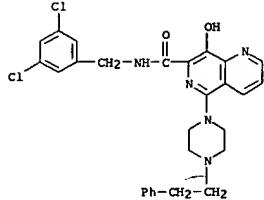
85

RN 410543-89-4 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[4-pyridinylamino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 410543-88-3
CMF C21 H15 Cl2 N5 O2

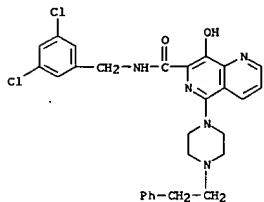
salt



84

RN 410543-87-2 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[4-(2-phenylethyl)-1-piperazinyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

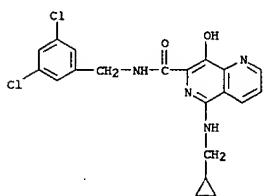
CRN 410543-96-1
CMF C28 H27 Cl2 N5 O2

salt

CM 2

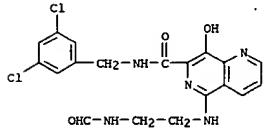
CRN 76-05-1
CMF C2 H F3 O2

RN 410543-90-7 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 5-[(cyclopropylmethyl)amino]-N-[(3,5-dichlorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



86

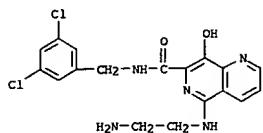
RN 410543-91-8 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-5-[(2-formylamino)ethyl]amino]-8-hydroxy- (9CI) (CA INDEX NAME)



87

RN 410543-92-9 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 5-[(2-aminoethyl)amino]-N-[(3,5-dichlorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

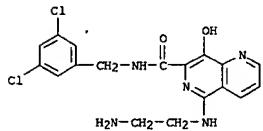
CM 2



88

RN 410543-93-0 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-[(2-aminoethyl)amino]-N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

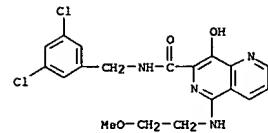
CRN 410543-92-9
CMF C18 H17 Cl2 N5 O2

Salt X

CM 2

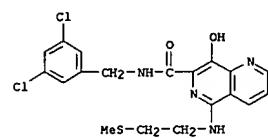
CRN 76-05-1
CMF C2 H F3 O2

RN 410543-94-1 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(2-methoxyethyl)amino]- (9CI) (CA INDEX NAME)



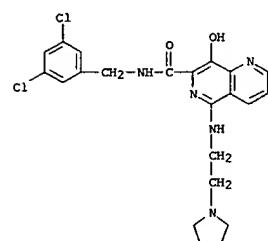
89

RN 410543-95-2 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(2-(methylthio)ethyl)amino]- (9CI) (CA INDEX NAME)



90

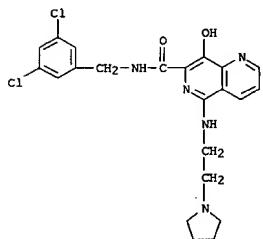
RN 410543-96-3 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(2-(1-pyrrolidinyl)ethyl)amino]- (9CI) (CA INDEX NAME)



91

RN 410543-97-4 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(2-(1-pyrrolidinyl)ethyl)amino]-mono(trifluoroacetate) (salt) (9CI)

CM 1

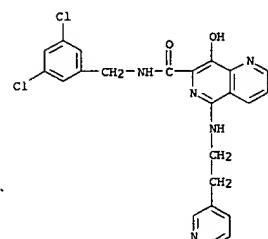
CRN 410543-96-3
CMF C22 H23 Cl2 N5 O2

Salt X

CM 2

CRN 76-05-1
CMF C2 H F3 O2

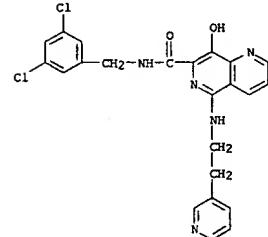
RN 410543-99-6 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(2-(3-pyridinyl)ethyl)amino]- (9CI) (CA INDEX NAME)



93

RN 410544-00-2 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(2-(3-pyridinyl)ethyl)amino]-mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 410543-99-6
CMF C23 H19 Cl2 N5 O2

Salt X

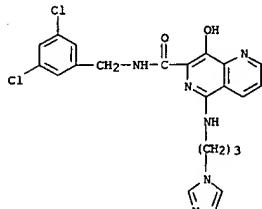
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 410544-02-4 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(3-(1H-imidazol-1-yl)propyl)amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

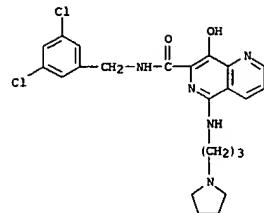
CRN 410544-01-3
 CMF C22 H20 Cl2 N6 O2

94

CM 2

CRN 76-05-1
 CMF C2 H F3 O2

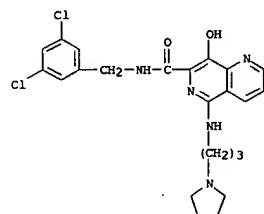
RN 410544-03-5 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(3-(1-pyrrolidinyl)propyl)amino]- (9CI) (CA INDEX NAME)



95

RN 410544-04-6 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(3-(1-pyrrolidinyl)propyl)amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

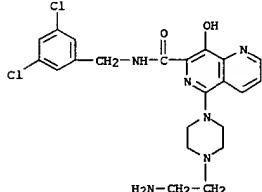
CRN 410544-03-5
 CMF C23 H25 Cl2 N5 O2

S o X

CM 2

CRN 76-05-1
 CMF C2 H F3 O2

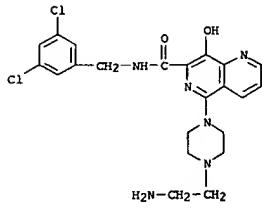
RN 410544-05-7 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-[(4-(2-aminoethyl)-1-piperazinyl)-N-[(3,5-dichlorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



96

RN 410544-06-8 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-[(4-(2-aminoethyl)-1-piperazinyl)-N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

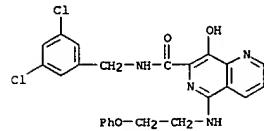
CM 1

CRN 410544-05-7
 CMF C22 H24 Cl2 N6 O2

S o X

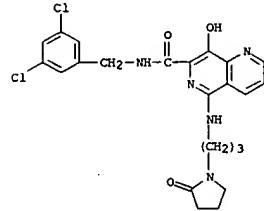


RN 410544-07-9 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(2-phenoxyethyl)amino]- (9CI) (CA INDEX NAME)



97

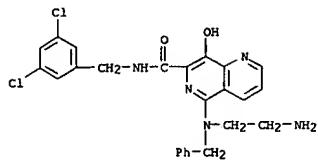
RN 410544-08-0 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(3-(2-oxo-1-pyrrolidinyl)propyl)amino]- (9CI) (CA INDEX NAME)



98

RN 410544-09-1 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-[(2-aminoethyl)(phenylmethyl)amino]-N-[(3,5-dichlorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

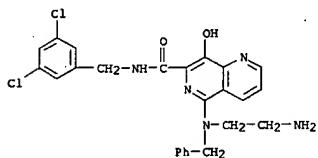
CM 2



99

RN 410544-10-4 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-[(2-aminoethyl)(phenylmethyl)amino]-N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CN 1

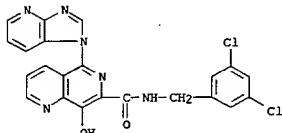
CRN 410544-09-1
 CMF C25 H23 C12 N5 O2

50

CN 2

CRN 76-05-1
 CMF C2 H F3 O2

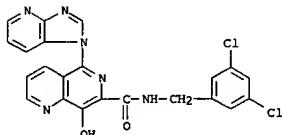
RN 410544-12-6 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(4-(1-piperazinyl)butyl)amino]-, bis(trifluoroacetate) (salt) (9CI)



101

RN 410544-14-8 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(1H-imidazo[4,5-b]pyridin-1-yl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CN 1

CRN 410544-13-7
 CMF C22 H14 C12 N6 O2

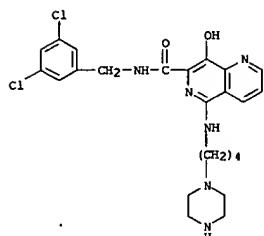
salt

CN 2

CRN 76-05-1
 CMF C2 H F3 O2

RN 410544-15-9 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(3H-imidazo[4,5-b]pyridin-3-yl)- (9CI) (CA INDEX NAME)

CN 1

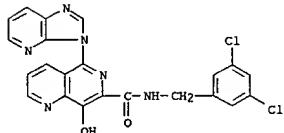
CRN 410544-11-5
 CMF C24 H28 C12 N6 O2

salt

CN 2

CRN 76-05-1
 CMF C2 H F3 O2

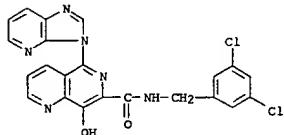
RN 410544-13-7 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(1H-imidazo[4,5-b]pyridin-1-yl)- (9CI) (CA INDEX NAME)



101

RN 410544-16-0 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(1H-imidazo[4,5-b]pyridin-3-yl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CN 1

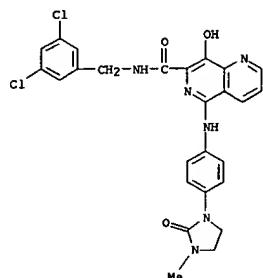
CRN 410544-15-9
 CMF C22 H14 C12 N6 O2

salt

CN 2

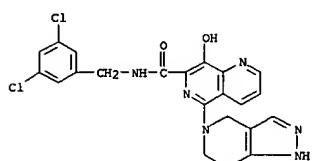
CRN 76-05-1
 CMF C2 H F3 O2

RN 410544-17-1 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(4-(3-methyl-2-oxo-1-imidazolidinyl)phenyl)amino]- (9CI) (CA INDEX NAME)



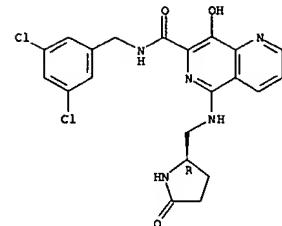
102

RN 410544-18-2 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-5-[(1,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



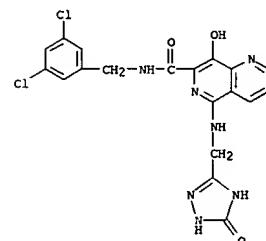
103

RN 410544-20-6 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-5-[(2R)-5-oxo-2-pyrrolidinylmethyl]amino- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



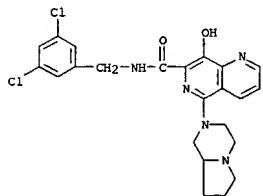
104

RN 410544-22-8 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-5-[(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)methyl]amino]-8-hydroxy- (9CI) (CA INDEX NAME)



105

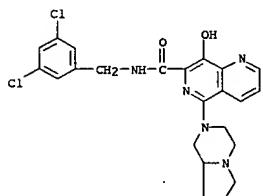
RN 410544-23-9 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-5-(hexahydropyrrrole[1,2-a]pyrazin-2(1H)-yl)-8-hydroxy- (9CI) (CA INDEX NAME)



106

RN 410544-24-0 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-5-[(hexahydropyrrrole[1,2-a]pyrazin-2(1H)-yl)-8-hydroxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

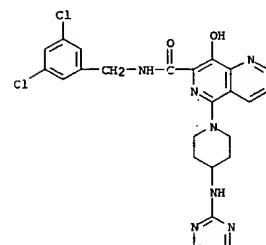
CRN 410544-23-9
CMF C23 H23 Cl12 N5 O2

salt

CM 2

CRN 76-05-1
CMF C2 H F3 O2

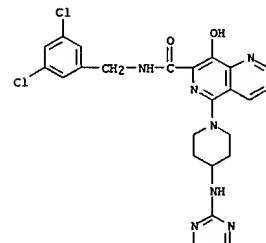
RN 410544-25-1 CAPLUS



107

RN 410544-26-2 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(4-(2-pyrimidinylamino)-1-piperidinyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 410544-25-1
CMF C25 H23 Cl12 N7 O2

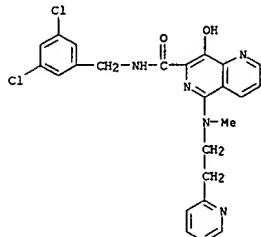
salt

CM 2

CRN 76-05-1



RN 410544-27-3 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[methyl[2-(2-pyridinyl)ethyl]amino]- (9CI) (CA INDEX NAME)

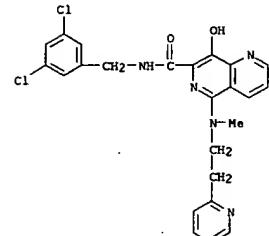


108

RN 410544-28-4 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[methyl[2-(2-pyridinyl)ethyl]amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 410544-27-3
 CHF C24 H21 Cl2 N5 O2



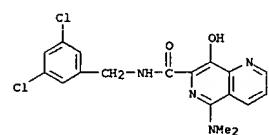
Sect

CM 2

CRN 76-05-1
 CHF C2 H F3 O2

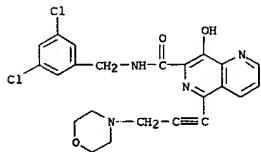


RN 410544-29-5 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-5-(dimethylamino)-8-hydroxy- (9CI) (CA INDEX NAME)



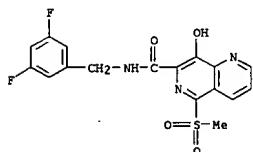
109

RN 410544-30-8 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[3-(4-morpholinyl)-1-propynyl]- (9CI) (CA INDEX NAME)



110

RN 410544-32-0 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-difluorophenyl)methyl]-8-hydroxy-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

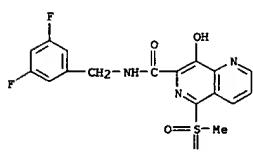


111

RN 410544-33-1 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-difluorophenyl)methyl]-8-hydroxy-5-(methylsulfonyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 410544-32-0
 CHF C17 H13 F2 N3 O4 S



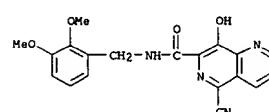
Sect

CM 2

CRN 76-05-1
 CHF C2 H F3 O2

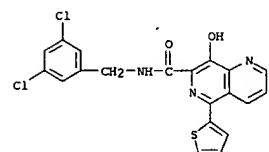


RN 410544-34-2 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-cyano-N-[(2,3-dimethoxyphenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



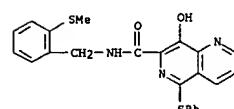
112

RN 410544-36-4 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(2-thienyl)- (9CI) (CA INDEX NAME)



113

RN 410544-39-7 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-[(2-(methylthio)phenyl)methyl]-5-(phenylthio)- (9CI) (CA INDEX NAME)

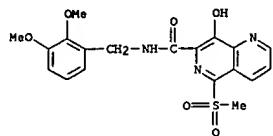


114

RN 410544-43-3 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(2,3-dimethoxyphenyl)methyl]-8-hydroxy-

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

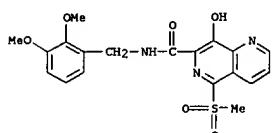
(Continued)



115

RN 410544-44-4 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(2,3-dimethoxyphenyl)methyl]-8-hydroxy-5-(methylsulfonyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CN 1

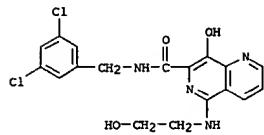
CRN 410544-43-3
CNF C19 H19 N3 O6 S

50 ✓

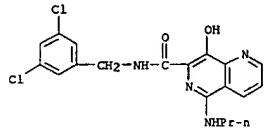
CN 2

CRN 76-05-1
CNF C2 H F3 O2RN 410544-45-5 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(2-hydroxyethyl)amino]- (9CI) (CA INDEX NAME)

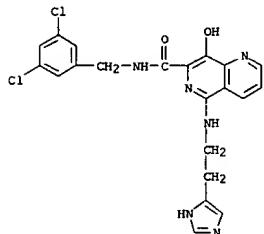
L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



116

RN 410544-46-6 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(propylamino)- (9CI) (CA INDEX NAME)

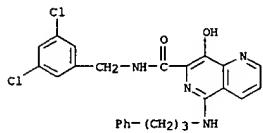
117

RN 410544-47-7 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(2-(1H-imidazol-4-yl)ethyl)amino]- (9CI) (CA INDEX NAME)

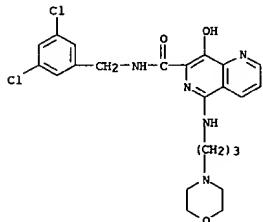
118

RN 410544-48-8 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(3-phenylpropyl)amino]- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



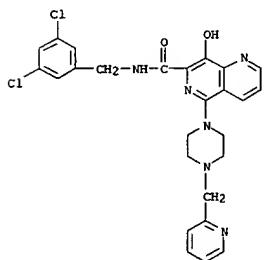
119

RN 410544-49-9 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(3-(4-morpholinyl)propyl)amino]- (9CI) (CA INDEX NAME)

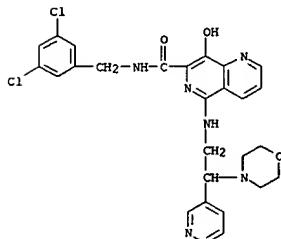
120

RN 410544-50-2 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(2-pyridinylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

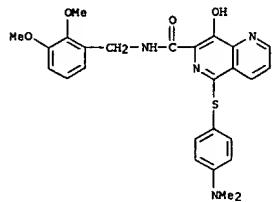


121

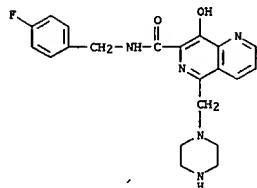
RN 410544-51-3 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(2-(4-morpholinyl)-2-(3-pyridinyl)ethyl)amino]- (9CI) (CA INDEX NAME)

122

RN 410544-53-5 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(2,3-dimethoxyphenyl)methyl]-5-[(4-dimethylamino)phenyl]thio- (9CI) (CA INDEX NAME)

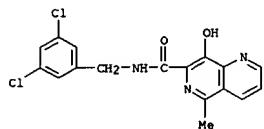


123



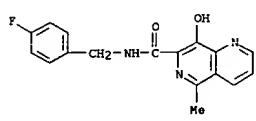
salt

RN 410544-54-6 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-methyl- (9CI) (CA INDEX NAME)



124

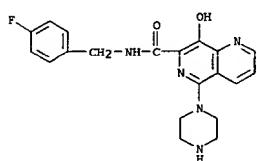
RN 410544-55-7 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-methyl- (9CI) (CA INDEX NAME)



125

RN 410544-58-0 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(1-piperazinylmethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)
 CM 1
 CRN 410544-57-9

RN 410544-59-1 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(1-piperazinyl)- (9CI) (CA INDEX NAME)

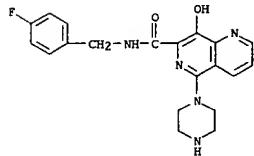


128

RN 410544-60-4 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(1-piperazinyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

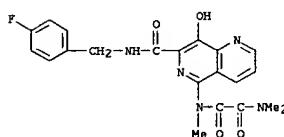
CM 1

CRN 410544-59-1
 CMF C20 H20 F NS O2



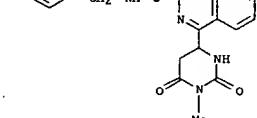
salt

130



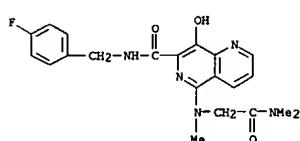
133

CM 2
 CRN 76-05-1
 CMF C2 H F3 O2



134

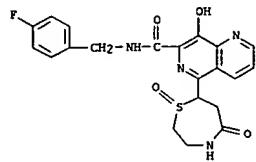
RN 410544-66-0 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-[(2-(dimethylamino)-2-oxoethyl)methylamino]-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



129

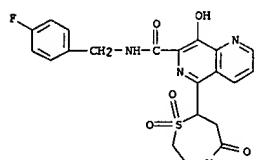
RN 410544-68-2 CAPLUS
 CN Ethanediamide, [7-[(4-fluorophenyl)methyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridin-5-yltrimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

RN 410544-79-5 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-1-oxido-5-oxo-1,4-thiazepin-7-yl)-8-hydroxy- (9CI) (CA INDEX NAME)



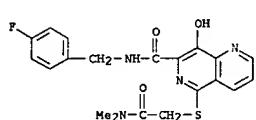
136

RN 410544-80-8 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-1,1-dioxido-5-oxo-1,4-thiazepin-7-yl)-8-hydroxy- (9CI) (CA INDEX NAME)



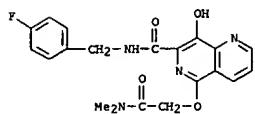
137

RN 410544-81-9 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-[(2-(dimethylamino)-2-oxoethyl)thio]-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



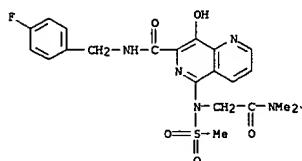
138

RN 410544-82-0 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-[(2-(dimethylamino)-2-oxoethoxy)-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



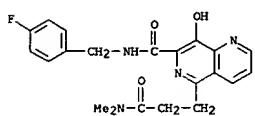
139

RN 410544-85-3 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-[(2-(dimethylamino)-2-oxoethyl)(methylsulfonyl)amino]-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



140

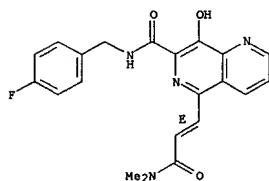
RN 410544-87-5 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 7-[(2-(dimethylamino)-2-oxoethyl)thio]-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



141

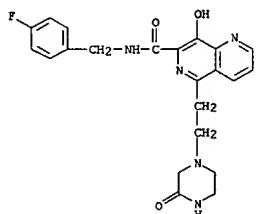
RN 410544-89-7 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-[(1E)-3-(dimethylamino)-3-oxo-1-propenyl]-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



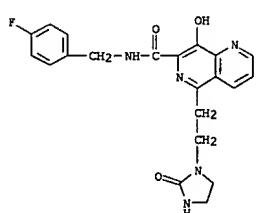
142

RN 410544-90-0 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-[(2-(3-oxo-1-piperazinyl)ethyl)- (9CI) (CA INDEX NAME)



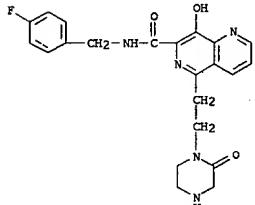
143

RN 410544-91-1 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-[(2-(2-oxo-1-imidazolidinyl)ethyl)- (9CI) (CA INDEX NAME)



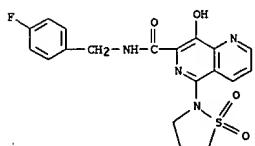
144

RN 410544-92-2 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-[(2-oxo-1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)



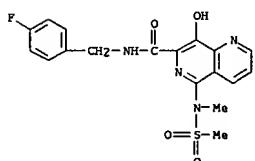
145

RN 410544-96-6 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-[(1,1-dioxido-2-isothiazolidinyl)-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



146

RN 410544-97-7 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-[(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

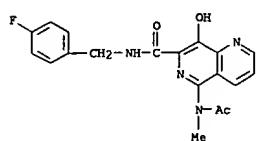


147

RN 410544-99-8 CAPLUS

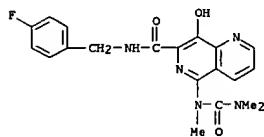
148

LS ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 CN 1,6-Naphthyridine-7-carboxamide, 5-[(acetyl methylamino)-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



149

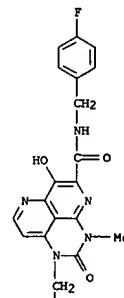
RN 410544-99-9 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-[(dimethylamino)carbonyl]methylamino-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



150

RN 410545-02-7 CAPLUS
 CN 1H-Pyrimido[4,5,6-de][1,6]naphthyridine-5-carboxamide, N-[(4-fluorophenyl)methyl]-2,3-dihydro-6-hydroxy-3-methyl-1-[2-(4-morpholinyl)ethyl]-2-oxo- (9CI) (CA INDEX NAME)

RN 410545-05-0 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-(1,1-dioxido-4-thiomorpholinyl)-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

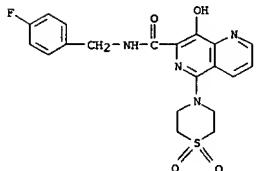


151

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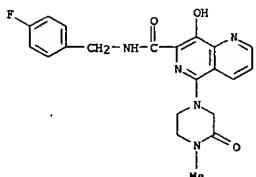


LS ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



153

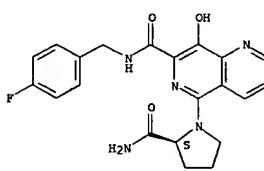
RN 410545-06-1 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(4-methyl-3-oxo-1-piperazinyl)- (9CI) (CA INDEX NAME)



154

RN 410545-07-2 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-[(2S)-2-(aminocarbonyl)-1-pyrrolidinyl]-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

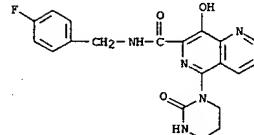
Absolute stereochemistry.



155

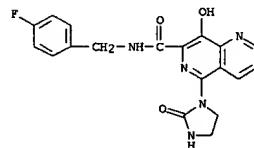
RN 410545-08-3 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-2-oxo-1(2H)-pyrimidinyl)- (9CI) (CA INDEX NAME)

LS ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



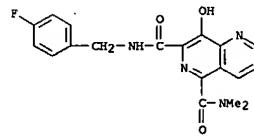
156

RN 410545-09-4 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(2-oxo-1-imidazolidinyl)- (9CI) (CA INDEX NAME)



157

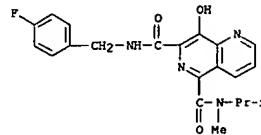
RN 410545-10-7 CAPLUS
 CN 1,6-Naphthyridine-5,7-dicarboxamide, N7-[(4-fluorophenyl)methyl]-8-hydroxy-N5,N5-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)



158

• x HCl

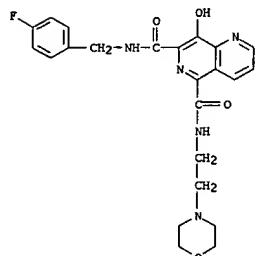
RN 410545-14-1 CAPLUS
 CN 1,6-Naphthyridine-5,7-dicarboxamide, N7-[(4-fluorophenyl)methyl]-8-hydroxy-N5-methyl-N5-(1-methylethyl)-, hydrochloride (9CI) (CA INDEX NAME)



159

• HCl

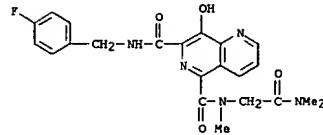
RN 410545-15-2 CAPLUS
 CN 1,6-Naphthyridine-5,7-dicarboxamide, N7-[(4-fluorophenyl)methyl]-8-hydroxy-N5-[2-(4-morpholinyl)ethyl]-, hydrochloride (9CI) (CA INDEX NAME)



160

• HCl

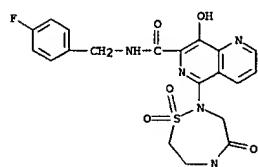
RN 410545-16-3 CAPLUS
 CN 1,6-Naphthyridine-5,7-dicarboxamide, N5-[2-(dimethylamino)-2-oxoethyl]-N7-[(4-fluorophenyl)methyl]-8-hydroxy-N5-methyl-, hydrochloride (9CI) (CA INDEX NAME)



161

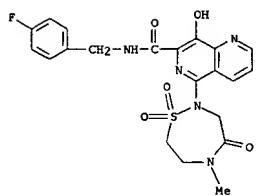
• HCl

RN 410545-17-4 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-4-oxo-1,2,5-thiadiazepin-2(3H)-yl)- (9CI) (CA INDEX NAME)



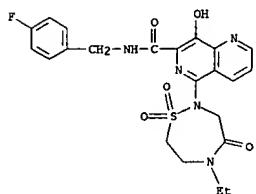
162

RN 410545-18-5 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-5-methyl-1,1-dioxido-4-oxo-1,2,5-thiadiazepin-2(3H)-yl)- (9CI) (CA INDEX NAME)



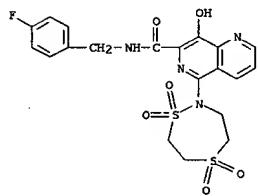
163

RN 410545-19-6 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-(5-ethyltetrahydro-1,1-dioxido-4-oxo-1,2,5-thiadiazepin-2(3H)-yl)-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



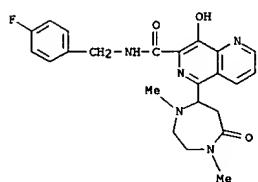
164

RN 410545-21-0 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1,5,5-tetraoxido-2H-1,5,2-dithiazepin-2-yl)- (9CI) (CA INDEX NAME)



165

RN 410545-22-1 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-1,4-dimethyl-7-oxo-1H-1,4-diazepin-5-yl)-8-hydroxy- (9CI) (CA INDEX NAME)



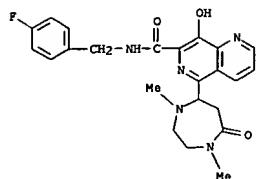
166

RN 410545-23-2 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-1,4-dimethyl-7-oxo-1H-1,4-diazepin-5-yl)-8-hydroxy-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 410545-22-1

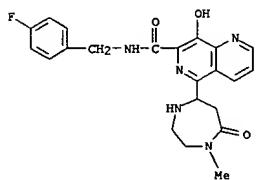
CMF C23 H24 F N5 O3



CH 2

CRN 76-05-1
CHF C2 H F3 O2

RN 410545-24-3 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-1-methyl-7-oxo-1H-1,4-diazepin-5-yl)-8-hydroxy- (9CI) (CA INDEX NAME)

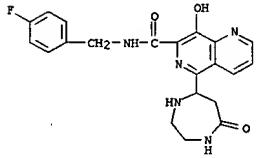


168

RN 410545-25-4 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-1-methyl-7-oxo-1H-1,4-diazepin-5-yl)-8-hydroxy-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

LS ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
oxo-1H-1,4-diazepin-5-yl)-8-hydroxy-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CH 1

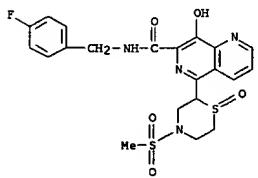
CRN 410545-26-5
CHF C21 H20 F NS O3

Salk

CH 2

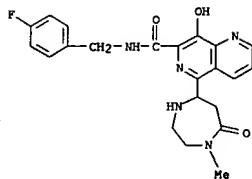
CRN 76-05-1
CHF C2 H F3 O2

RN 410545-31-2 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-[4-(methylsulfonyl)-1-oxido-2-thiomorpholinyl]- (9CI) (CA INDEX NAME)



171

RN 410545-32-3 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-[4-(methylsulfonyl)-1.1-dioxido-2-thiomorpholinyl]- (9CI) (CA INDEX NAME)

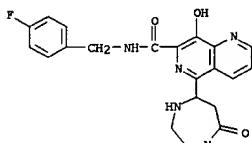
CRN 410545-24-3
CHF C22 H22 F NS O3

Salk

CH 2

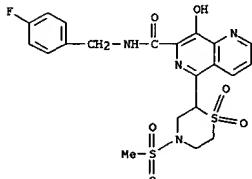
CRN 76-05-1
CHF C2 H F3 O2

RN 410545-26-5 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-7-oxo-1H-1,4-diazepin-5-yl)-8-hydroxy- (9CI) (CA INDEX NAME)



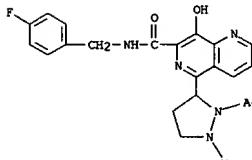
169

RN 410545-27-6 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-7-



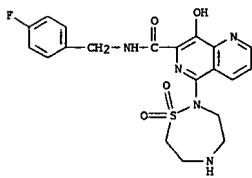
172

RN 410545-33-4 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 5-(2-acetyl-1-methyl-3-pyrazolidinyl)-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



173

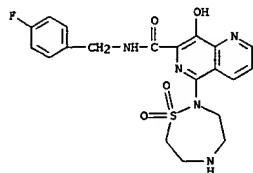
RN 410545-35-6 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-1,2,5-thiadiazepin-2(3H)-yl)- (9CI) (CA INDEX NAME)



174

RN 410545-36-7 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-1,2,5-thiadiazepin-2(3H)-yl)-mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CN 1

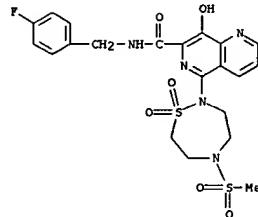
RN 410545-35-6
CNF C20 H20 F N5 O4 S

5ack

CN 2

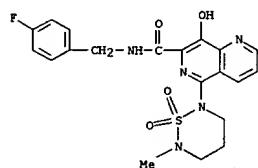
RN 76-05-1
CNF C2 H F3 O2

RN 410545-41-4 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-[tetrahydro-5-(methylsulfonyl)-1,1-dioxido-1,2,5-thiadiazepin-2(3H)-yl]- (9CI) (CA INDEX NAME)



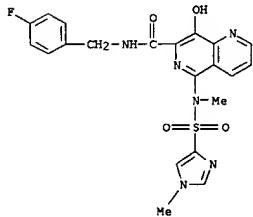
175

RN 410545-43-6 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-[tetrahydro-6-methyl-1,1-dioxido-2H-1,2,6-thiadiazin-2-yl]- (9CI) (CA INDEX NAME)



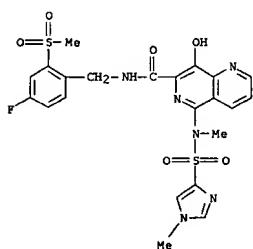
176

RN 410545-44-7 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-[methyl(1-methyl-1H-imidazol-4-yl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



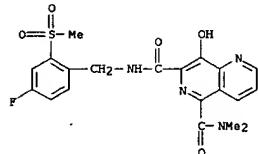
177

RN 410545-47-0 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluoro-2-(methylsulfonyl)phenyl)methyl]-8-hydroxy-5-[methyl(1-methyl-1H-imidazol-4-yl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



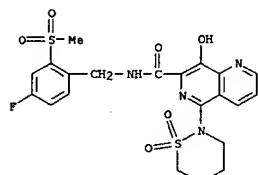
178

RN 410545-50-5 CAPLUS
CN 1,6-Naphthyridine-5,7-dicarboxamide, N7-[(4-fluoro-2-(methylsulfonyl)phenyl)methyl]-8-hydroxy-N5,N5-dimethyl- (9CI) (CA INDEX NAME)



179

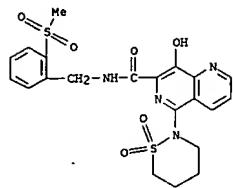
RN 410545-56-1 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluoro-2-(methylsulfonyl)phenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)



5ack

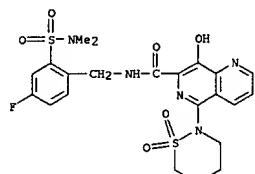
● Na

RN 410545-64-1 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-[(2-(methylsulfonyl)phenyl)methyl]-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, sodium salt (4:7) (9CI) (CA INDEX NAME)



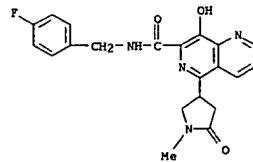
● 7/4 Na

RN 410545-70-9 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(2-[(dimethylamino)sulfonyl]-4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)



● Na

RN 410545-80-1 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(1-methyl-5-oxo-3-pyrrolidinyl)-, trifluoroacetate (20:3) (salt) (9CI) (CA INDEX NAME)
 CM 1
 CRN 410545-79-8
 CMF C21 H19 F N4 O3



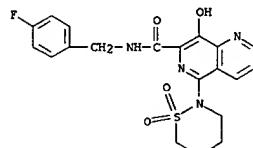
CM 2

CRN 76-05-1

CMF C2 H F3 O2

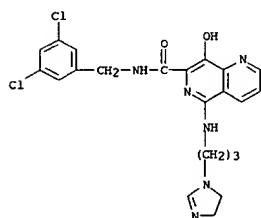


RN 410545-86-7 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)

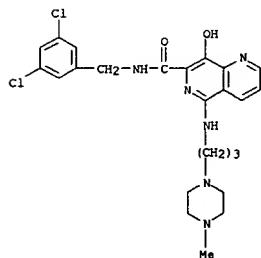


● Na

RN 410545-87-8 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-5-[(3-(4,5-dihydro-1H-imidazol-1-yl)propyl)amino]-8-hydroxy- (9CI) (CA INDEX NAME)

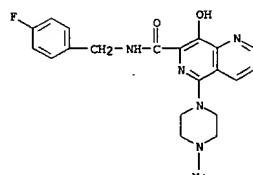


RN 410545-88-9 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(3-(4-methyl-1-piperazinyl)propyl)amino]- (9CI) (CA INDEX NAME)



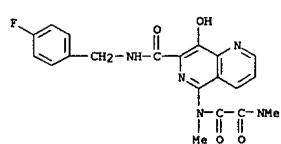
160

RN 410545-89-0 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

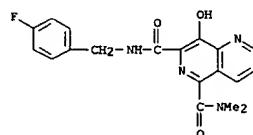


127

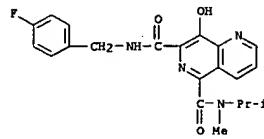
RN 410545-90-3 CAPLUS
 CN Ethanediamide, [7-[[[(4-fluorophenyl)methyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl]trimethyl- (9CI) (CA INDEX NAME)



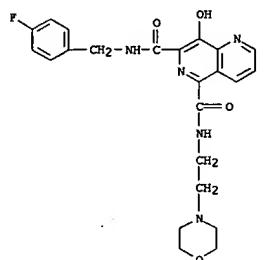
RN 410545-91-4 CAPLUS
 CN 1,6-Naphthyridine-5,7-dicarboxamide, N7-[(4-fluorophenyl)methyl]-8-hydroxy-N5,N5-dimethyl- (9CI) (CA INDEX NAME)



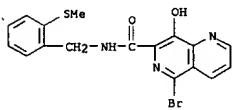
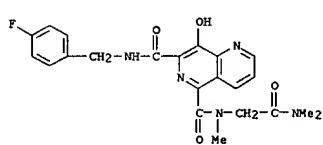
RN 410545-92-5 CAPLUS
 CN 1,6-Naphthyridine-5,7-dicarboxamide, N7-[(4-fluorophenyl)methyl]-8-hydroxy-N5-methyl-N5-(1-methylethyl)- (9CI) (CA INDEX NAME)



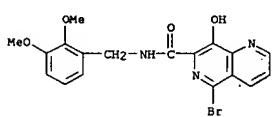
RN 410545-93-6 CAPLUS
CN 1,6-Naphthyridine-5,7-dicarboxamide, N7-[(4-fluorophenyl)methyl]-8-hydroxy-N5-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



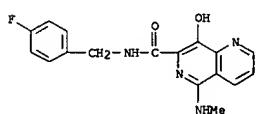
RN 410545-94-7 CAPLUS
CN 1,6-Naphthyridine-5,7-dicarboxamide, N5-[2-(dimethylamino)-2-oxoethyl]-N7-[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



RN 410544-41-1 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 5-bromo-N-[(2,3-dimethoxyphenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



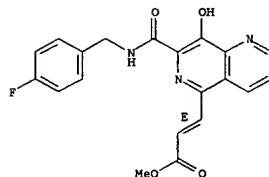
RN 410544-67-1 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(methylamino)- (9CI) (CA INDEX NAME)



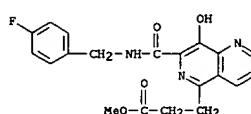
RN 410544-70-6 CAPLUS
CN 2-Propenoic acid, 3-[(4-fluorophenyl)methyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl-, methyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

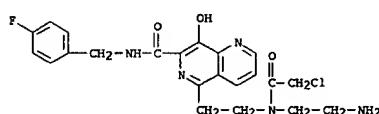
L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
IT 410544-40-0P, 8-Hydroxy-5-bromo[1,6]naphthyridine-7-carboxylic acid 2-methylsulfanylbenzylamide 410544-41-1P, 5-Bromo-N-(2,3-dimethoxybenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410544-67-1P, N-(4-Fluorobenzyl)-8-hydroxy-5-(methylamino)-1,6-naphthyridine-7-carboxamide 410544-70-6P, Methyl (2E)-3-[(4-fluorophenyl)amino]carbonyl]-8-hydroxy[1,6]naphthyridin-5-yl]-2-propenoate 410544-88-6P, Methyl 3-[(4-fluorophenyl)amino]carbonyl]-8-hydroxy[1,6]naphthyridin-5-yl]-2-propenoate 410544-93-3P, N-(4-Fluorobenzyl)-5-[(2-aminooethyl)(chloroacetyl)amino]ethyl-8-hydroxy[1,6]naphthyridine-7-carboxamide 410545-00-5P, N-(4-Fluorobenzyl)-8-methoxy-5-(methylamino)-1,6-naphthyridine-7-carboxamide 410545-01-6P, 5-[(Dimethylamino)carbonyl](methyl)amino]-N-(4-fluorophenyl)-8-methoxy-1,6-naphthyridine-7-carboxamide 410545-03-8P, N-(4-Fluorobenzyl)-8-methoxy-3-methyl-1-(2-morpholin-4-ylethyl)-2-oxo-2,3-dihydro-1H-pyrimido[4,5,6-d]azepine-6-carboxamide 410545-13-0P, 7-[(4-Fluorobenzyl)amino]carbonyl]-8-hydroxy-1,6-naphthyridine-5-carboxylic acid sodium salt 410545-40-3P, tert-Butyl 2-[(7-[(4-Fluorobenzyl)amino]carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl]-1,2,5-thiadiazepane-5-carboxylate 1,1-dioxide 410545-48-1P, N-(4-Fluorobenzyl)-8-hydroxy-5-(methyl[1-(1-methyl-1H-imidazol-4-yl)sulfonyl]amino)-1,6-naphthyridine-7-carboxamide 410545-55-0P, Methyl 7-[(4-fluoro-2-(methylthio)benzyl)amino]carbonyl]-8-hydroxy-1,6-naphthyridine-5-carboxylate 410545-55-0P, 7-[(4-Fluoro-2-(methylthio)benzyl)amino]carbonyl]-8-hydroxy-1,6-naphthyridine-5-carboxylic acid 410545-56-3P, 5-Bromo-8-hydroxy-N-[2-(methylsulfonyl)benzyl]-1,6-naphthyridine-7-carboxamide 410545-68-5P, 410545-75-4P, 5-Bromo-N-2-[(dimethylamino)sulfonyl]-4-fluorobenzyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide 410545-76-5P, 5-Bromo-7-[(2-[(dimethylamino)sulfonyl]-4-fluorobenzyl)amino]carbonyl]-1,6-naphthyridin-8-yl 4-methylbenzenesulfonate 410545-77-6P, 7-[(2-[(dimethylamino)sulfonyl]-4-fluorobenzyl)amino]carbonyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-1,6-naphthyridin-8-yl 4-methylbenzenesulfonate 410545-81-2P, N-(4-Fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410545-82-3P, N-(4-Fluorobenzyl)-8-hydroxy-5-iodo-1,6-naphthyridine-7-carboxamide 410545-83-4P, N-(4-Fluorobenzyl)-8-hydroxy-5-(5-oxo-2,5-dihydrofuran-3-yl)-1,6-naphthyridine-7-carboxamide 410545-85-6P, N-(4-Fluorobenzyl)-8-hydroxy-5-[(1-methyl-2-(methylamino)-5-oxopyrrolidin-3-yl)-1,6-naphthyridine-7-carboxamide
RL: RCT (Reactant or reagent), (intermediate; prepn. of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors for treatment of AIDS)
RN 410544-40-1 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 5-bromo-8-hydroxy-N-[(2-(methylthio)phenyl)methyl]- (9CI) (CA INDEX NAME)



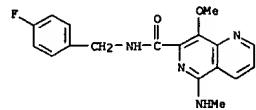
RN 410544-88-6 CAPLUS
CN 1,6-Naphthyridine-5-propanoic acid, 7-[(4-fluorophenyl)methyl]amino]carbonyl]-8-hydroxy-, methyl ester (9CI) (CA INDEX NAME)



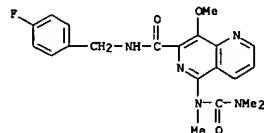
RN 410544-93-3 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 5-(2-[(2-aminoethyl)(chloroacetyl)amino]ethyl)-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



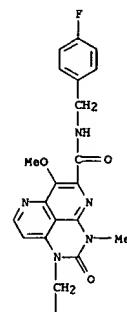
RN 410545-00-5 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-methoxy-5-(methylamino)- (9CI) (CA INDEX NAME)



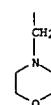
RN 410545-01-6 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 5-[(dimethylamino)carbonyl]methylamino-N-[(4-fluorophenyl)methyl]-8-methoxy- (9CI) (CA INDEX NAME)



RN 410545-03-8 CAPLUS
 CN 1H-Pyrido[4,5,6-de][1,6]naphthyridine-5-carboxamide, N-[(4-fluorophenyl)methyl]-2,3-dihydro-6-methoxy-3-methyl-1-[2-(4-morpholinyl)ethyl]-2-oxo- (9CI) (CA INDEX NAME)

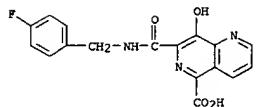


PAGE 1-A



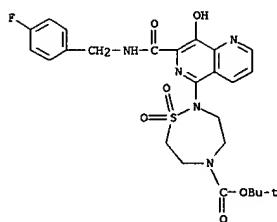
PAGE 2-A

RN 410545-13-0 CAPLUS
 CN 1,6-Naphthyridine-5-carboxylic acid, 7-[(4-fluorophenyl)methyl]amino carbonyl-8-hydroxy-, monosodium salt (9CI) (CA INDEX NAME)

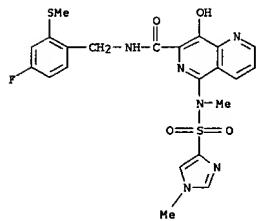


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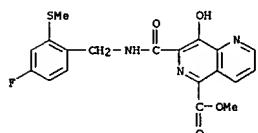
RN 410545-40-3 CAPLUS
 CN 1,2,5-Thiadiazepine-5-(2H)-carboxylic acid, 2-[7-[(4-fluorophenyl)methyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl]tetrahydro-, 1,1-dimethylsulfonyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



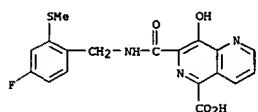
RN 410545-48-1 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluoro-2-(methylthio)phenyl)methyl]-8-hydroxy-5-(methyl[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino)- (9CI) (CA INDEX NAME)



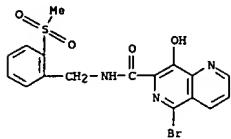
RN 410545-54-9 CAPLUS
 CN 1,6-Naphthyridine-5-carboxylic acid, 7-[(4-fluoro-2-(methylthio)phenyl)methyl]amino carbonyl-8-hydroxy-, methyl ester (9CI) (CA INDEX NAME)



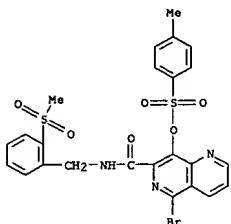
RN 410545-55-0 CAPLUS
 CN 1,6-Naphthyridine-5-carboxylic acid, 7-[(4-fluoro-2-(methylthio)phenyl)methyl]amino carbonyl-8-hydroxy- (9CI) (CA INDEX NAME)



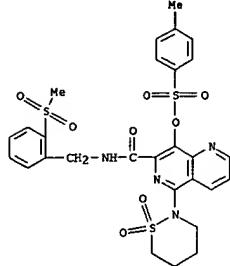
RN 410545-66-3 CAPLUS
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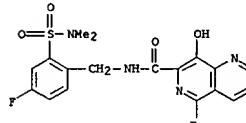
RN 410545-67-4 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 5-bromo-8-[(4-methylphenyl)sulfonyloxy]-N-[(2-(methylsulfonyl)phenyl)methyl]- (9CI) (CA INDEX NAME)



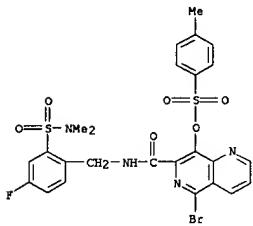
RN 410545-68-5 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 8-[(4-methylphenyl)sulfonyloxy]-N-[(2-(methylsulfonyl)phenyl)methyl]-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



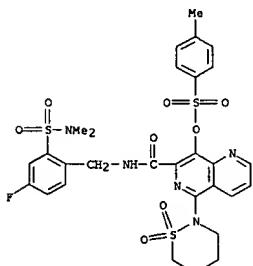
RN 410545-75-4 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 5-bromo-N-[(2-(dimethylamino)sulfonyl)-4-fluorophenyl]methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



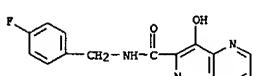
RN 410545-76-5 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 5-bromo-N-[(2-(dimethylamino)sulfonyl)-4-fluorophenyl]methyl]-8-[(4-methylphenyl)sulfonyloxy]- (9CI) (CA INDEX NAME)



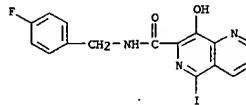
RN 410545-77-6 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(2-(dimethylamino)sulfonyl)-4-fluorophenyl]methyl]-8-[(4-methylphenyl)sulfonyloxy]-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



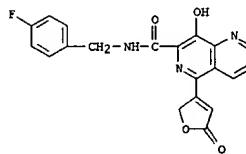
RN 410545-81-2 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



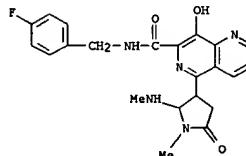
RN 410545-82-3 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-iodo- (9CI) (CA INDEX NAME)



RN 410545-83-4 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 5-(2,5-dihydro-5-oxo-3-furanyl)-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

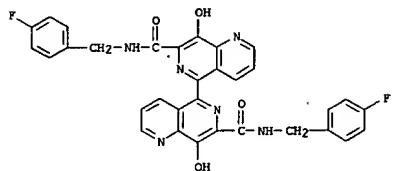


RN 410545-85-6 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-[1-methyl-2-(methylamino)-5-oxo-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

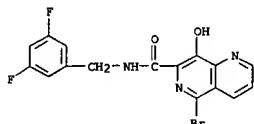


IT 410545-86-5P, N,N'-Bis(4-fluorobenzyl)-8,8'-dihydroxy-5,5'-bi-1,6-naphthyridine-7,7'-dicarboxamide
RL: SPN (Synthetic Preparation); PREP (Preparation)
(prepn. of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors for treatment of AIDS)

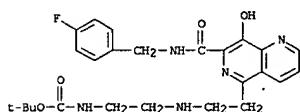
RN 410545-84-5 CAPLUS
[5,5'-Bi-1,6-naphthyridine]-7,7'-dicarboxamide, N,N'-bis[(4-fluorophenyl)methyl]-8,8'-dihydroxy- (9CI) (CA INDEX NAME)



IT 410544-31-9, 5-Bromo-N-(3,5-difluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410544-94-4, tert-Butyl 2-[(2-[7-[(4-fluorophenyl)amino]carbonyl]-8-hydroxy[1,6]naphthyridin-5-yl)ethyl]aminoethylcarbamate
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactants) prepn. of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors for treatment of AIDS)
RN 410544-31-9 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 5-bromo-N-[(3,5-difluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

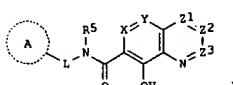


RN 410544-94-4 CAPLUS
CN Carboxylic acid, [2-[(7-[(4-fluorophenyl)methyl]amino)carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl]ethyl]aminoethyl ester, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:293447 CAPLUS
DOCUMENT NUMBER: 136:325438
TITLE: Preparation of aza- and polyaza-naphthalenyl-carboxamides as HIV integrase inhibitors
INVENTOR(S): Anthony. Neville J.; Gomez, Robert P.; Bennett, Jennifer J.; Young, Steven D.; Egbertson, Melissa; Wai, John S.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 154 pp.
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

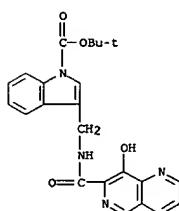
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030426	AI	20020418	WO 2001-US31550	20011009
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EP 1326611	AI	20030716	EP 2001-98393	20011009
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PRIORITY APPLN. INFO.:		US 2000-239708P	P 20001012	
OTHER SOURCE(S):	MARPAT 136:325438		WO 2001-US31550	W 20011009
GI				



AB The title compds. [I: A = heterocycle substituted by R1-R4; L = a single bond, alkyne, alkylene, etc.; X, Y, Z1, Z2 = N, (un)substituted CH, provided that X and Y are not both N; Z3 = N, CH2; R1, R2 = H, alkyl, halo, etc.; R3, R4 = H, halo, CN, etc.; R5 = H, alkyl, aryl, etc.], useful as inhibitors of HIV integrase and inhibitors of HIV replication, were prepd. and formulated. Thus, reacting Me 8-hydroxy-1,6-naphthyridine-7-carboxylate (prepn. given) with 1-(pyridin-2-yl)methanamine in PhMe afforded I [A = 2-pyridyl; L = CH2; X = N; Y, Z1-Z3 = CH; R1-R5 = H]. Representative compds. I tested in the integrase assay demonstrated IC50's of < 100 μM. The compds. I are useful in the prevention or treatment of infection by HIV and the treatment of AIDS, as compds. or pharmaceutically acceptable salts, or as ingredients in pharmaceutical compns., optionally in combination with other antivirals.

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
immunomodulators, antibiotics or vaccines. Methods of preventing, treating or delaying the onset of AIDS and methods of preventing or treating infection by HIV are also described.

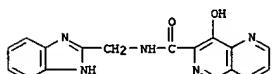
IT 412334-28-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of aza- and polyaza-naphthalenyl-carboxamides as HIV integrase inhibitors)
RN 412334-28-2 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[(8-hydroxy-1,6-naphthyridin-5-yl)carbonyl]amino)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT 412334-18-OP 412334-19-1P 412334-20-4P
412334-21-5P 412334-22-6P 412334-23-7P
412334-24-8P 412334-25-9P 412334-26-OP
412334-27-1P 412334-29-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aza- and polyaza-naphthalenyl-carboxamides as HIV integrase inhibitors)

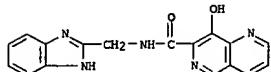
RN 412334-18-0 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-(1H-benzimidazol-2-ylmethyl)-8-hydroxy- (9CI) (CA INDEX NAME)



RN 412334-19-1 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-(1H-benzimidazol-2-ylmethyl)-8-hydroxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

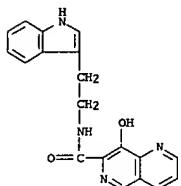
L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
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CH 2

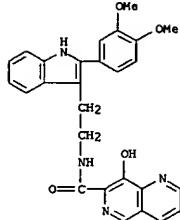
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RN 412334-20-4 CAPLUS
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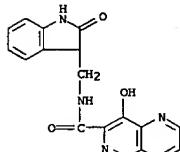


RN 412334-21-5 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[2-(3,4-dimethoxyphenyl)-1H-indol-3-yl]ethyl-8-hydroxy- (9CI) (CA INDEX NAME)

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

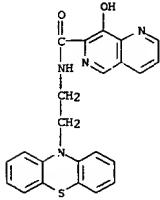


RN 412334-22-6 CAPLUS
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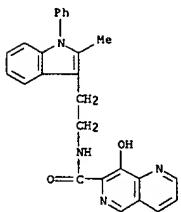


RN 412334-23-7 CAPLUS
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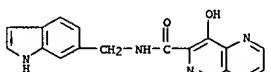
L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 412334-24-8 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-[2-(2-methyl-1-phenyl-1H-indol-3-yl)ethyl]- (9CI) (CA INDEX NAME)

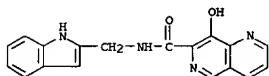


RN 412334-25-9 CAPLUS
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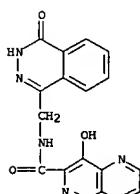


RN 412334-26-0 CAPLUS
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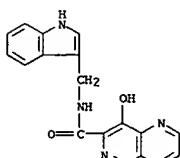
L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 412334-27-1 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[{(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



RN 412334-29-3 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-(1H-indol-3-ylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT